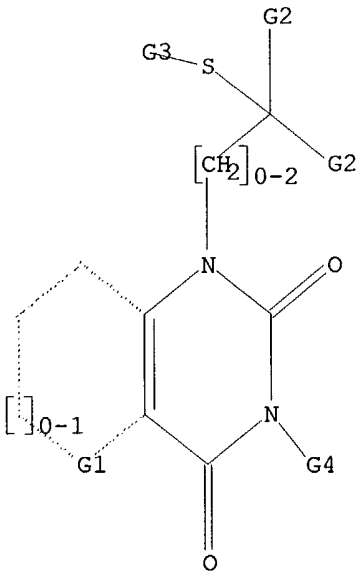
RLR 6-4-04 ~~10/178441~~ 09/830,518

TR



G1 CH,S

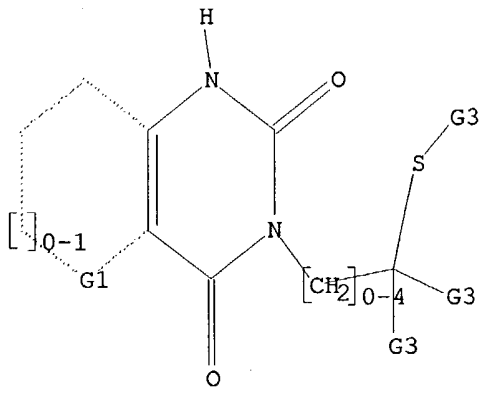
G2 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

G3 H,Ak

G4 H,o-C6H4,m-C6H4,p-C6H4

Structure attributes must be viewed using STN Express query preparation.

=> d l8
L8 HAS NO ANSWERS
L8 STR



G1 CH,S

G2 H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

~~10/170441~~

```
=> s l7 sss full
FULL SEARCH INITIATED 19:20:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  31273 TO ITERATE

100.0% PROCESSED   31273 ITERATIONS                                7 ANSWERS
SEARCH TIME: 00.00.01

L9             7 SEA SSS FUL L7

=> s l8 sss full
FULL SEARCH INITIATED 19:20:38 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  31273 TO ITERATE

100.0% PROCESSED   31273 ITERATIONS                               90 ANSWERS
SEARCH TIME: 00.00.01

L10           90 SEA SSS FUL L8

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                             315.46     856.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00     -33.26

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FILE COVERS 1907 - 18 May 2004  VOL 140 ISS 21
FILE LAST UPDATED: 17 May 2004  (20040517/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l9
L11            3 L9

=> s l10
L12           26 L10

=> d l11 1-3 ibib abs hitstr
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L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:736395 CAPLUS
DOCUMENT NUMBER: 137:257693
TITLE: Matrix metalloprotease MMP-3 cleavage of human growth hormone and methods for its therapeutic modulation
INVENTOR(S): Hermann, Konrad; Arkona, Christoph
PATENT ASSIGNEE(S): IBFB G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

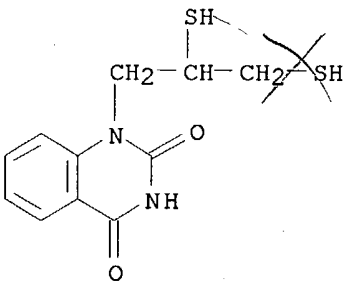
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074945	A1	20020926	WO 2002-EP2606	20020309
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10113604	A1	20021024	DE 2001-10113604	20010320

PRIORITY APPLN. INFO.: DE 2001-10113604 A 20010320

AB The invention relates to a method for cleaving human growth hormone GH, by means of matrix metalloproteinase MMP. It has been found that MMP-3 cleaves the hormone into two fragments, of which the 16 kDa fragment is stable. Thus, inhibitors of MMP-3 may be used to treat tumors, proliferative diabetic retinopathy and angiogenesis, in particular coronary infarct, wound healing, menstrual cycle disturbances, etc.

IT **378748-29-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(MMP-3 inhibitor; matrix metalloprotease MMP-3 cleavage of human growth hormone and methods for its therapeutic modulation)

RN 378748-29-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)

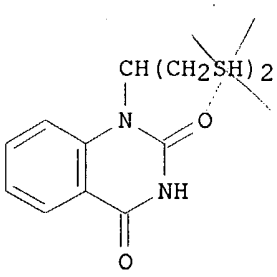


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:903382 CAPLUS
DOCUMENT NUMBER: 136:20086
TITLE: 1-(Dimercaptoalkyl)quinazoline-2,4(1H,3H)-diones as matrix metalloproteinase (MMP) inhibitors
INVENTOR(S): Heinicke, Jochen; Klausmeier, Uwe; Arkona, Christoph; Leistner, Siegfried
PATENT ASSIGNEE(S): IBFB G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: Ger., 8 pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10101324	C1	20011213	DE 2001-10101324	20010113
WO 2002055507	A1	20020718	WO 2001-EP15170	20011220
WO 2002055507	C1	20030306		
W: BR, CA, JP, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1349842	A1	20031008	EP 2001-273078	20011220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004044013	A1	20040304	US 2003-250988	20031022

PRIORITY APPLN. INFO.: DE 2001-10101324 A 20010113
WO 2001-EP15170 W 20011220
OTHER SOURCE(S): MARPAT 136:20086
GI



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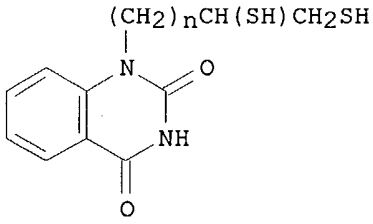

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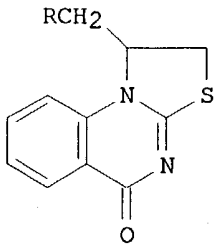


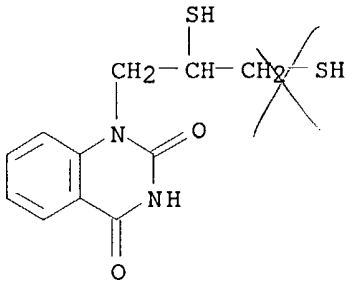
III

AB Title compds. such as I and II (n = 1, 2) were prepared as matrix metalloproteinase (MMP) inhibitors. Thus, I was prepared from III (R = Br) via III (R = SH). I at 10 μM showed 50-70% inhibition of several

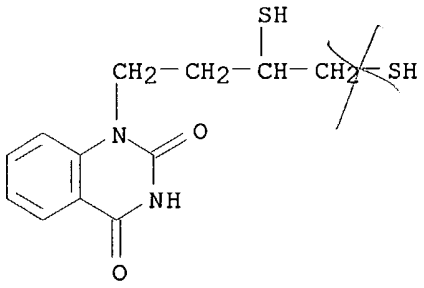
matrix metalloproteinases.

IT **378748-29-9P 378748-30-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(1-(dimercaptoalkyl)quinazoline-2,4(1H,3H)-diones as matrix metalloproteinase (MMP) inhibitors)

RN 378748-29-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)



RN 378748-30-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3,4-dimercaptobutyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

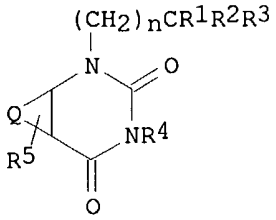
L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:114660 CAPLUS
DOCUMENT NUMBER: 134:178565
TITLE: Preparation of mercaptoalkylquinazolinediones and related compounds as inhibitors of matrix metalloproteinase.
INVENTOR(S): Leistner, Siegfried; Wippich, Petra; Hermann, Konrad
PATENT ASSIGNEE(S): Ibfb G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: Ger., 26 pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19940494	C1	20010215	DE 1999-19940494	19990826
WO 2001014344	A2	20010301	WO 2000-EP8126	20000821
WO 2001014344	A3	20010607		
W: US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1150964	A2	20011107	EP 2000-964024	20000821
EP 1150964	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 253054	E	20031115	AT 2000-964024	20000821

PRIORITY APPLN. INFO.: DE 1999-19940494 A 19990826
WO 2000-EP8126 W 20000821

OTHER SOURCE(S): MARPAT 134:178565

GI



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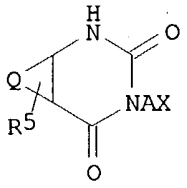


II

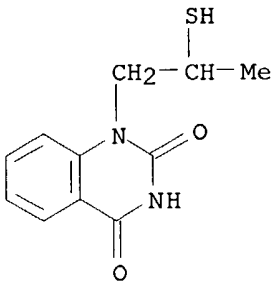
present cast

AB Title compds. [I, II; R1 = H, Me, Et; R2 = H, Me; R3 = SH, hydroxyaminoacylalkylthio, alkyl; R4 = H, alkyl, Ph, PhCH2; n = 0-2; A = alkylene; X = SH, hydroxyaminoacylalkylthio; Q = atoms to form benzo, (anellated) thieno rings; R5 = H, Me, F, Cl, Br, MeS, etc.], were prepared 2-Methyl-1,2-dihydro-5H-thiazolo[3,2-a]quinazoline-5-one hydrobromide (preparation given) was refluxed 8 h with H2SO4 and HOAc in H2O to give 1-(2-mercaptopropyl)quinazoline-2,4-(1H,3H)-dione. The latter inhibited Clostridium histolyticum collagenase by 50% at 21.0 μM. Drug formulations containing 1-(3-mercaptopropyl)quinazolin-2,4-(1H,3H)-dione were given.

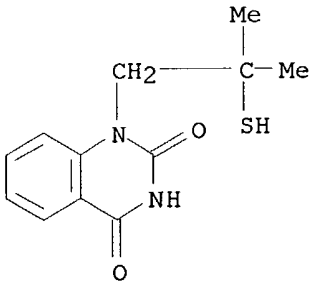
IT **325955-82-6P 325955-83-7P 325955-84-8P**
325955-85-9P 325955-86-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of mercaptoalkylquinazolinediones and related compds. as inhibitors of matrix metalloproteinase)

RN 325955-82-6 CAPLUS

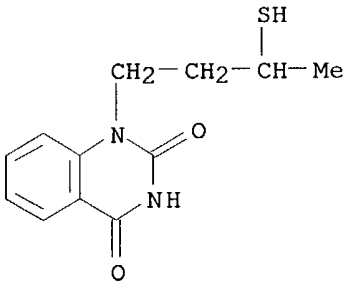
CN 2,4(1H,3H)-Quinazolinedione, 1-(2-mercaptopropyl)- (9CI) (CA INDEX NAME)



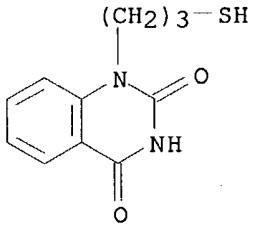
RN 325955-83-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(2-mercapto-2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 325955-84-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-mercaptobutyl)- (9CI) (CA INDEX NAME)



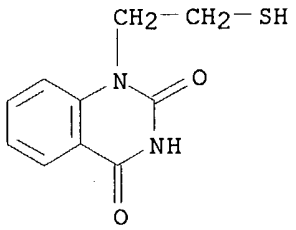
RN 325955-85-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 1-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



RN 325955-86-0 CAPLUS

~~10/150441~~

CN 2,4(1H,3H)-Quinazolinedione, 1-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

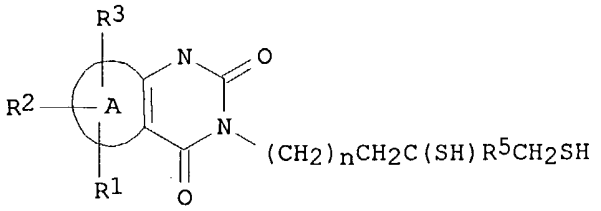
=> d l12 1-26 ibib abs hitstr

L12 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:851128 CAPLUS
DOCUMENT NUMBER: 139:350747
TITLE: Preparation of fused pyrimidine-2,4(1H,3H)-diones as inhibitors of matrix metalloproteinases (MMP)
INVENTOR(S): Heinicke, Jochen; Klausmeier, Uwe
PATENT ASSIGNEE(S): IBFB G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1357114	A1	20031029	EP 2002-22635	20021009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
DE 10217813	A1	20031113	DE 2002-10217813	20020422
WO 2003089416	A1	20031030	WO 2003-EP4085	20030417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: DE 2002-10217813 A 20020422
EP 2002-22635 A 20021009
OTHER SOURCE(S): MARPAT 139:350747
GI

~~10/178441~~



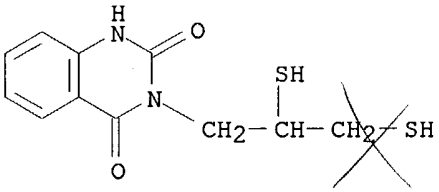
I

AB Title compds. [I; n = 0-2; A = anellated benzyl, 5-7 membered cyclo(hetero)alkyl; R1-R3 = H, halo, alkyl, alkylthio, aryl, NO2, carbamoyl, alkoxy, cyano, CF3, amino, carboxy, alkoxycarbonyl, alkylcarbamoyl, alkenyl; R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, etc.; R5 = H, Me], were prepared Several I at 10 μM inhibited MMP-2, MMP-3, MMP-8, MMP-9, and MT1-MMP by 15-90%.

IT **618101-92-1P 618101-95-4P 618101-98-7P**
618102-01-5P 618102-09-3P 618102-13-9P
618102-17-3P 618102-22-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused pyrimidine-2,4(1H,3H)-diones as inhibitors of matrix metalloproteinases (MMP))

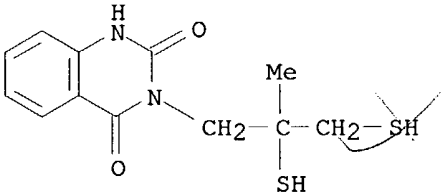
RN 618101-92-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)



RN 618101-95-4 CAPLUS

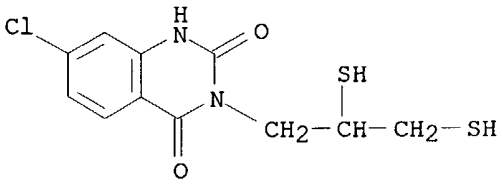
CN 2,4(1H,3H)-Quinazolinedione, 3-(2,3-dimercapto-2-methylpropyl)- (9CI) (CA INDEX NAME)



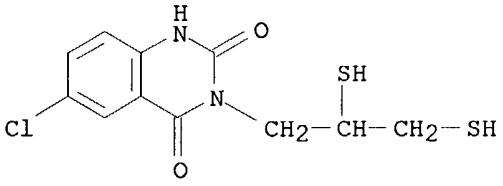
RN 618101-98-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 7-chloro-3-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)

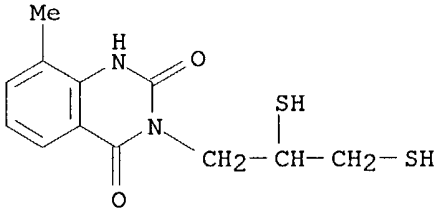
~~10/170,441~~



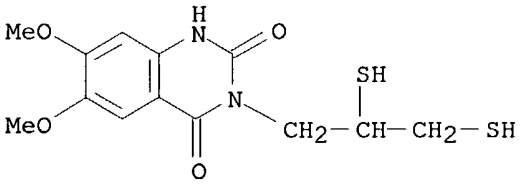
RN 618102-01-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)



RN 618102-09-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2,3-dimercaptopropyl)-8-methyl- (9CI) (CA INDEX NAME)

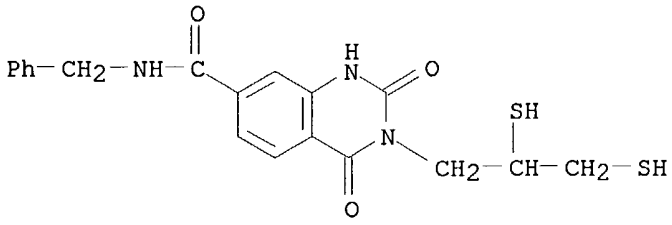


RN 618102-13-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2,3-dimercaptopropyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)

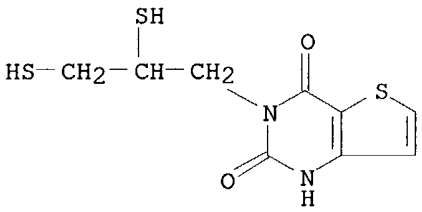


RN 618102-17-3 CAPLUS
CN 7-Quinazolinecarboxamide, 3-(2,3-dimercaptopropyl)-1,2,3,4-tetrahydro-2,4-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

~~10/17844~~1



RN 618102-22-0 CAPLUS
CN Thieno[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-(2,3-dimercaptopropyl)- (9CI) (CA INDEX NAME)



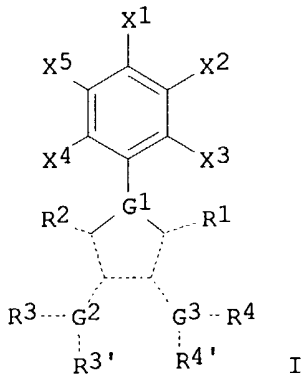
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:719265 CAPLUS
DOCUMENT NUMBER: 139:240337
TITLE: Pin1 peptidyl prolyl isomerase-modulating compounds and methods of use in the treatment of cancer and other Pin1-associated conditions
INVENTOR(S): Mckee, Timothy D.; Suto, Robert K.
PATENT ASSIGNEE(S): Pintex Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003073999	A2	20030912	WO 2003-US6399	20030303
WO 2003073999	A3	20031231		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-361231P P 20020301
OTHER SOURCE(S): MARPAT 139:240337
GI

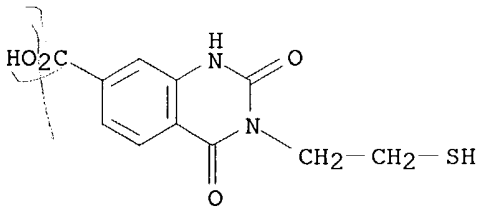


I

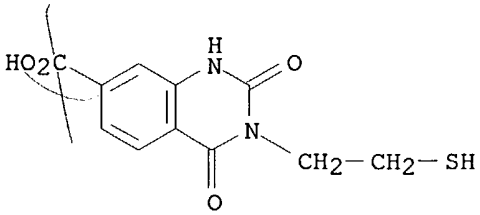
AB The invention discloses modulators, e.g., inhibitors of Pin1 and Pin1-related proteins, and the use of such modulators for treatment of Pin1-associated states, e.g., for the treatment of cancer. Compds. of the invention include I [dashed lines = single or double bonds; G1 = CH, N; G2, G3 = H, N, CH2, CH, NH; R1, R2, R3, R3', R4, R4', X1-X5 = H, acyl, (un)substituted alkyl, etc.]. Determination of Pin1 overexpression in a variety of tumor types is also presented.

IT **596790-83-9 596790-83-9D**, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Pin1 peptidyl prolyl isomerase-modulating compds. for treatment of cancer and other Pin1-associated conditions)

RN 596790-83-9 CAPLUS
CN 7-Quinazolinecarboxylic acid, 1,2,3,4-tetrahydro-3-(2-mercaptoethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)



RN 596790-83-9 CAPLUS
CN 7-Quinazolinecarboxylic acid, 1,2,3,4-tetrahydro-3-(2-mercaptoethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)

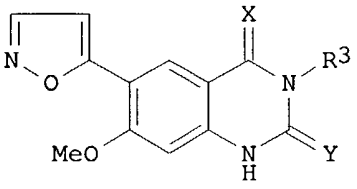


L12 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511320 CAPLUS
DOCUMENT NUMBER: 139:85370
TITLE: Preparation of quinazolinedione derivatives as inosine 5'-monophosphate dehydrogenase (IMPDH) inhibitors for use in pharmaceutical compositions
INVENTOR(S): Dyke, Hazel Joan; Richard, Marianna Dilani; Haughan, Alan Findlay; Sharpe, Andrew
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053958	A1	20030703	WO 2002-GB5770	20021218

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-30585 A 20011220
GB 2002-4137 A 20020222
OTHER SOURCE(S): MARPAT 139:85370
GI



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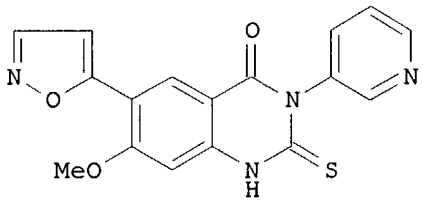
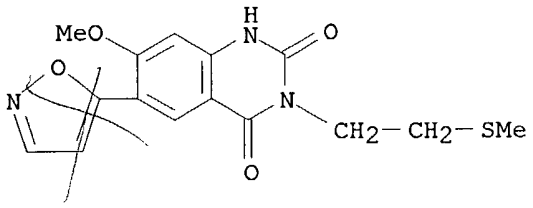


II

AB Quinazolinediones, such as I [X, Y = O, S; R3 = alkyl, heterocyclyl, heterocyclylalkyl, aminoalkyl, etc.], were prepared for therapeutic use as IMPDH inhibitors for therapeutic use in the treatment of of cancer, inflammatory disorders, autoimmune disorders, psoriatic disorders and viral disorders. Thus, quinazolinedione derivative II was prepared via a cyclocondensation reaction of 2-isothiocyanato-4-methoxy-5-(5-oxazolyl)benzoic acid Me ester with 3-aminopyridine. The prepared quinazolinediones were assayed for inhibition of IMPDH and for inhibition of human peripheral blood mononuclear cells.

IT **553679-07-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinedione derivs. as IMPDH inhibitors for use in pharmaceutical compns.)
RN 553679-07-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-(5-isoxazolyl)-7-methoxy-3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:736395 CAPLUS
DOCUMENT NUMBER: 137:257693
TITLE: Matrix metalloprotease MMP-3 cleavage of human growth hormone and methods for its therapeutic modulation
INVENTOR(S): Hermann, Konrad; Arkona, Christoph
PATENT ASSIGNEE(S): IBFB G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074945	A1	20020926	WO 2002-EP2606	20020309
DE 10113604	A1	20021024	DE 2001-10113604	20010320

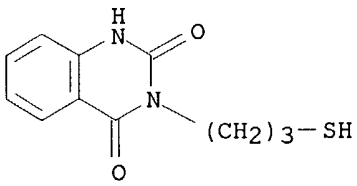
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

date

PRIORITY APPLN. INFO.: DE 2001-10113604 A 20010320
AB The invention relates to a method for cleaving human growth hormone GH, by means of matrix metalloproteinase MMP. It has been found that MMP-3 cleaves the hormone into two fragments, of which the 16 kDa fragment is stable. Thus, inhibitors of MMP-3 may be used to treat tumors, proliferative diabetic retinopathy and angiogenesis, in particular coronary infarct, wound healing, menstrual cycle disturbances, etc.
IT **138608-75-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(MMP-3 inhibitor; matrix metalloprotease MMP-3 cleavage of human growth hormone and methods for its therapeutic modulation)
RN 138608-75-0 CAPLUS

~~10/1784/1~~

CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

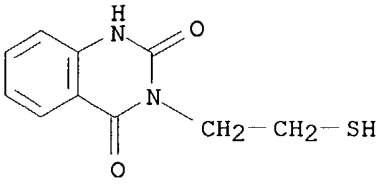
L12 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:669578 CAPLUS
DOCUMENT NUMBER: 136:5818
TITLE: Thiyl radical induced isomerization of unsaturated fatty acids: determination of equilibrium constants
AUTHOR(S): Adhikari, S.; Sprinz, H.; Brede, O.
CORPORATE SOURCE: Radiation Chemistry & Chemical Dynamics Division, Bhabha Atomic Research Centre, Mumbai, 400085, India
SOURCE: Research on Chemical Intermediates (2001), 27(4/5), 549-559
CODEN: RCINEE; ISSN: 0922-6168
PUBLISHER: VSP BV
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Thiyl radical-induced isomerization of polyunsatd. fatty acids (PUFAs) have been studied in homogeneous solution and in liposomes. Four one-trans isomers of arachidonic acid have been assigned with the help of 13C NMR spectroscopy. At a dose of 132 Gy, the trans fraction amts. to 9.2±1.2% in each of the four isomers. Therefore, all the four double bonds are equally susceptible to isomerization, which can be achieved by means of gamma radiolysis or chemolysis (AAPH) using both lipophilic and hydrophilic thiols. The equilibrium is characterized by a cis/trans ratio of 19:81, far away from the composition of the natural fatty acids (cis fraction 100%). However, compared to the linoleate isomerization in the homogeneous solution, we observed a preferential formation of trans-trans isomers if linoleate is incorporated in the bilayer of liposomes. This difference might be explained by the better fitting of the all-trans isomer into the parallel-aligned acyl chains. The isomerization step takes place within an adduct of the thiyl radical to an olefinic bond. Using a competition method, the numerical value of the equilibrium constant for the adduct formation was determined by pulse radiolysis to be (15±5) dm3 mol-1. This value does not depend on the number of double bonds and holds for all fatty acids under investigation.

IT **138400-06-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiyl radical induced isomerization of unsatd. fatty acids and determination of equilibrium consts.)

RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

~~10/17844~~1



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REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:114660 CAPLUS
DOCUMENT NUMBER: 134:178565
TITLE: Preparation of mercaptoalkylquinazolinediones and related compounds as inhibitors of matrix metalloproteinase.
INVENTOR(S): Leistner, Siegfried; Wippich, Petra; Hermann, Konrad
PATENT ASSIGNEE(S): Ibfb G.m.b.H. Privates Institut fuer Biomedizinische Forschung und Beratung, Germany
SOURCE: Ger., 26 pp.
CODEN: GWXXAW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19940494	C1	20010215	DE 1999-19940494	19990826
WO 2001014344	A2	20010301	WO 2000-EP8126	20000821
WO 2001014344	A3	20010607		
W: US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1150964	A2	20011107	EP 2000-964024	20000821
EP 1150964	B1	20031029		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 253054	E	20031115	AT 2000-964024	20000821

PRIORITY APPLN. INFO.: DE 1999-19940494 A 19990826
WO 2000-EP8126 W 20000821
OTHER SOURCE(S): MARPAT 134:178565
GI

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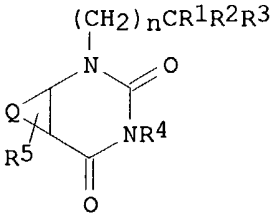

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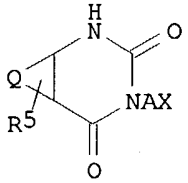


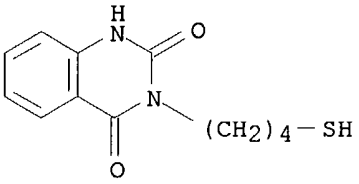
II

AB Title compds. [I, II; R1 = H, Me, Et; R2 = H, Me; R3 = SH, hydroxyaminoacylalkylthio, alkyl; R4 = H, alkyl, Ph, PhCH2; n = 0-2; A =

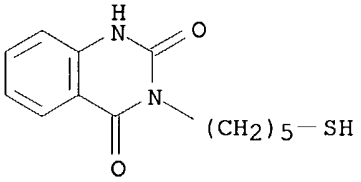
alkylene; X = SH, hydroxyaminoacylalkylthio; Q = atoms to form benzo, (anellated) thieno rings; R5 = H, Me, F, Cl, Br, MeS, etc.], were prepared 2-Methyl-1,2-dihydro-5H-thiazolo[3,2-a]quinazoline-5-one hydrobromide (preparation given) was refluxed 8 h with H2SO4 and HOAc in H2O to give 1-(2-mercaptopropyl)quinazoline-2,4-(1H,3H)-dione. The latter inhibited Clostridium histolyticum collagenase by 50% at 21.0 μM. Drug formulations containing 1-(3-mercaptopropyl)quinazolin-2,4-(1H,3H)-dione were given.

IT **325955-93-9P 325955-94-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of mercaptoalkylquinazolinediones and related compds. as inhibitors of matrix metalloproteinase)

RN 325955-93-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(4-mercaptobutyl)- (9CI) (CA INDEX NAME)



RN 325955-94-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(5-mercaptopentyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

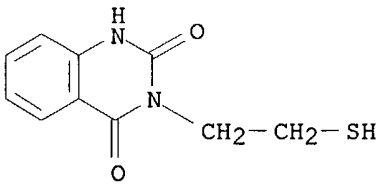
L12 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:858982 CAPLUS
DOCUMENT NUMBER: 134:162623
TITLE: Reactivity and Selectivity of Reactions of Small Radicals with a Multifunctional Heterocyclic Molecule: 3-(Mercaptoethyl)chinazoline-2,4-(1H,3H)dione
AUTHOR(S): Brede, O.; Schwinn, J.; Leistner, S.; Naumov, S.; Sprinz, H.
CORPORATE SOURCE: Interdisciplinary Group Time-Resolved Spectroscopy and Institute for Pharmacy, University of Leipzig, Leipzig, D-4303, Germany
SOURCE: Journal of Physical Chemistry A (2001), 105(1), 119-127
CODEN: JPCAFH; ISSN: 1089-5639
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

Date

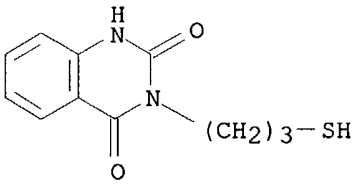
AB Using pulse radiolysis, we studied the reactions of small radicals (e-aq, OH•, N3•, and •CH2OH) with the title compound in aqueous solution Whereas the solvated electron adds selectively to the carbonyl group near the aromatic moiety, the hydroxyl radical reacts by addition to the aromatic ring as well as by H abstraction at >N(1)H and -SH groups. Also, azide radicals nonspecifically oxidize the aromatic ring, the thiol group, or the thiolate anion and the amine group at N(1), as identified by subsequent radical products. In contrast, hydroxymethyl radicals (derived from methanol) abstract hydrogen selectively at the thiol group. The thiyl radical formed was used to study the kinetics of H abstraction in the bis-allylic positions of linolenic acid. Product transient identification was performed by kinetic anal. as well as by comparison with reactions of mols. with structures less complex than that of the title compound, exhibiting relevant functional groups.

IT **138400-06-3 138608-75-0 138948-21-7,** 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylthio)ethyl]- **324582-85-6**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(reactivity and selectivity of reactions of small radicals with 3-(mercaptoethyl)-2,4-(1H,3H)quinazolinedione)

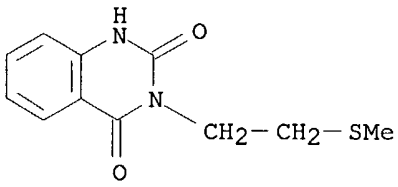
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



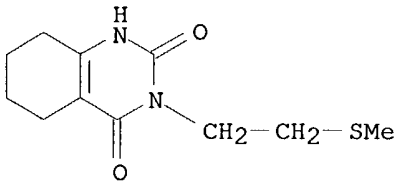
RN 138608-75-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



RN 138948-21-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

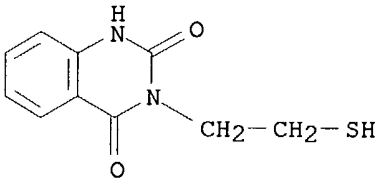


RN 324582-85-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 5,6,7,8-tetrahydro-3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:710188 CAPLUS
DOCUMENT NUMBER: 132:46695
TITLE: Purification of aminophenyl mercuryacetate-activated human matrix metalloproteinase 1 and removal of the organomercurial in a single-step chromatography
AUTHOR(S): Huse, Klaus; Wippich, Petra; Gutknecht, Danny; Aust, Gabriele; Scholz, Gerhard H.
CORPORATE SOURCE: Department of Internal Medicine III, University of Leipzig, Leipzig, D-04103, Germany
SOURCE: Bioseparation (1999), 7(6), 281-286
CODEN: BISPE4; ISSN: 0923-179X
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Matrix metalloproteinases are secreted from different cells as inactive zymogens. For their activation in vitro organomercurials may be used, the presence of which, however, can falsify activity assays and modulate the effects of the proteases in subsequent investigations. Here, we demonstrate the binding of human matrix metalloproteinase 1 to a thiophilic resin (mercaptoethylquinazolinedione derivatized agarose) and take advantage of this thiophilic interaction for the purification of organomercurial activated matrix metalloproteinase 1 from the supernatant of a thyroid carcinoma cell line in connection with the simultaneous removal of the activator.
IT **138400-06-3D**, reaction products with agarose
RL: NUU (Other use, unclassified); USES (Uses)
(purification of aminophenylmercury acetate-activated human matrix metalloproteinase 1 and removal of organomercurial in single-step chromatog.)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

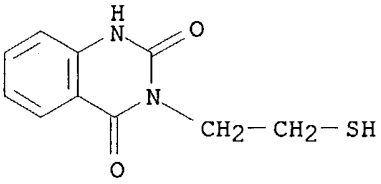


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:677514 CAPLUS
DOCUMENT NUMBER: 130:51003
TITLE: A simplified procedure for the isolation of immunoglobulins from human serum using a novel type of thiophilic gel at low salt concentration
AUTHOR(S): Scholz, G. H.; Vieweg, S.; Leistner, S.; Seissler, J.; Scherbaum, W. A.; Huse, K.
CORPORATE SOURCE: Department of Internal Medicine III, University of Leipzig, Leipzig, D-04103, Germany
SOURCE: Journal of Immunological Methods (1998), 219(1-2), 109-118
CODEN: JIMMBG; ISSN: 0022-1759
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By coupling 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)dione (MECH) to divinyl sulfone activated agarose, a novel thiophilic matrix was obtained which allows the binding of Igs from different sources. In contrast to other thiophilic gels, antibodies are bound at low ionic strength and can easily be desorbed in intact form by elution with dilute alkali. The potential of using the MECH-gel was demonstrated by the purification of antibodies from human and animal (goat, rabbit, mouse) sera. The functional integrity of the purified antibodies was established with cytoplasmic islet cell antibodies from the sera of patients with type I diabetes and autoantibodies against thyroid peroxidase from patients with Graves' and Hashimoto's disease.

IT **138400-06-3DP**, activated agarose conjugates
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(isolation of Igs from human serum using thiophilic gel at low salt concentration)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

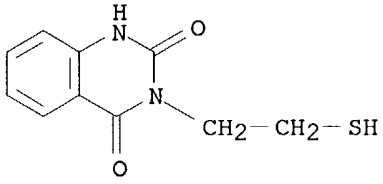
L12 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:605920 CAPLUS
DOCUMENT NUMBER: 129:287316
TITLE: Thiyl radical-induced cis/trans-isomerization of methyl linoleate in methanol and of linoleic acid residues in liposomes
AUTHOR(S): Schwinn, J.; Sprinz, H.; Drossler, K.; Leistner, S.; Brede, O.
CORPORATE SOURCE: Research Unit, Time-Resolved spectroscopy, Leipzig,

D-04303, Germany
SOURCE: International Journal of Radiation Biology (1998), 74(3), 359-365
CODEN: IJRBE7; ISSN: 0955-3002
PUBLISHER: Taylor & Francis Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Purpose: To investigate the role of a thiol-containing biol. active compound in lipid peroxidn. of membranes. Materials and methods: Thiyl radicals were generated from 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-dione (MECH) using pulse radiolysis and γ-radiolysis in aqueous and alc. solns. saturated with N2O. The products were analyzed by 1H NMR and by HPLC. Results: The thiyl radicals abstract bisallylic hydrogens from [cis-9, cis-12]-Me linoleate, yielding a pentadienyl radical. In the absence of oxygen, a thiyl radical-induced cis/trans-isomerization leads to linoleic-type isomers. These chain-type isomerization reactions can occur with the long living pentadienyl radical, followed by a 'repair' reaction of the attached thiol, and with the thiyl radical adduct with a double bond of the fatty acid residue. Conclusions: The results show that the mechanism of cis/trans-isomerization is an integral part of the thiyl radical attack on polyunsatd. fatty acids in homogeneous solns. and in bilayers.

IT **138400-06-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiyl radical-induced cis/trans-isomerization of Me linoleate in methanol and of linoleic acid residues in liposomes)

RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:455631 CAPLUS
DOCUMENT NUMBER: 129:227065
TITLE: The effects of a thiol-containing quinazolinedione derivative (MECH) on the lipid oxidation in bilayer liposomes
AUTHOR(S): Schwinn, J.; Sprinz, H.; Leistner, S.; Brede, O.
CORPORATE SOURCE: University Leipzig, Leipzig, D-04303, Germany
SOURCE: Journal of Radioanalytical and Nuclear Chemistry (1998), 232(1-2), 35-37
CODEN: JRNCDM; ISSN: 0236-5731
PUBLISHER: Elsevier Science S.A.
DOCUMENT TYPE: Journal
LANGUAGE: English

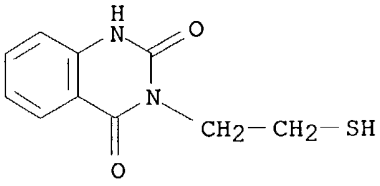
AB To investigate the radical chemical of 3-(2-mercaptoethyl)-2,4(1H,3H)-quinazolinedione (I) in homogeneous and liposomal solns., expts. were performed with pulse radiolysis, γ radiolysis, and the chemical radical initiator 2,2'-azobis(2-amidinopropane) dihydrochloride. The thiol group represents the most sensitive group to radical attack. The thiyl radical

from I is detected indirectly by product anal. and by pulse radiolysis. The thiyl radical can abstract bis-allylic H from polyunsatd. fatty acids as shown by pulse radiolysis in homogeneous and liposomal solns. via the formation of the pentadienyl radical which has a strong and characteristic absorption band at 280 nm.

IT **138400-06-3**, 2,4(1H,3H)-Quinazolinedione, 3-(2-Mercaptoethyl)-
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(radiolysis of (mercaptoethyl)quinazolinedione in liposomal and aqueous solns.)

RN 138400-06-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:8359 CAPLUS

DOCUMENT NUMBER: 128:59159

TITLE: Immobilized quinazoline conjugates for separation of proteins

INVENTOR(S): Leistner, Siegfried; Scholz, Gerhard Harry; Vieweg, Silke Birgit; Huse, Klaus; Herrmann, Konrad

PATENT ASSIGNEE(S): Dianova Lizenz- und Beteiligungsgesellschaft m.b.H., Germany

SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19623131	A1	19971211	DE 1996-19623131	19960610
DE 19623131	C2	20011031		
WO 9747383	A1	19971218	WO 1997-DE1208	19970610
W: US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 925110	A1	19990630	EP 1997-927004	19970610
EP 925110	B1	20020320		
R: AT, CH, DE, DK, ES, FR, GB, IT, LI, SE				
AT 214631	E	20020415	AT 1997-927004	19970610
ES 2174262	T3	20021101	ES 1997-927004	19970610

PRIORITY APPLN. INFO.: DE 1996-19623131 A 19960610
WO 1997-DE1208 W 19970610

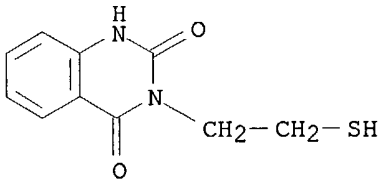
AB A thiol group-containing quinazoline ligand is immobilized on a carrier for use in separation and purification of proteins by affinity adsorption. Proteins, especially antibodies, bound to the ligand-carrier conjugate can further be used for selective binding of antigens, enzymes, drugs, etc. for use e.g. in

diagnostic assays and therapy. Adsorption of proteins to the ligand-carrier conjugate does not require high salt concns., and proteins desorbed from the conjugate retain their native properties and activity. Thus, 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-dione was quant. coupled to divinyl sulfone-activated agarose. The conjugate was used to bind a fibroblast-specific monoclonal antibody from a hybridoma cell supernatant; the antibody was eluted with 10 mM NaOH.

IT **138400-06-3D**, conjugates with carriers
RL: ARG (Analytical reagent use); PEP (Physical, engineering or chemical process); ANST (Analytical study); PROC (Process); USES (Uses)
(immobilized quinazoline conjugates for separation of proteins)

RN 138400-06-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:295736 CAPLUS

DOCUMENT NUMBER: 125:103364

TITLE: Serotonin receptor-binding technetium and rhenium complexes. Part 3. Synthesis, characterization, and biochemical evaluation of oxorhenium(V) complexes bearing the quinazolinedione portion of ketanserin

AUTHOR(S): Pietzsch, H. J.; Scheunemann, M.; Fietz, T.; Spies, H.; Brust, P.; Wober, J.; Johannsen, B.

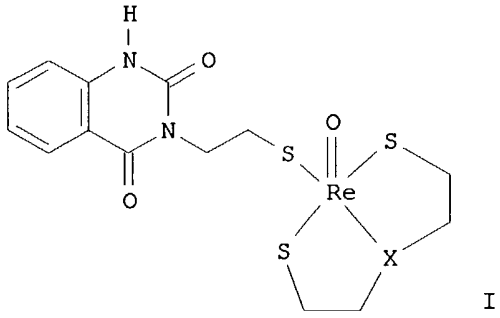
CORPORATE SOURCE: Inst. Bioinorg. Radiopharm. Chem., Res. Cent. Rossendorf Inc., Dresden, D-01314, Germany

SOURCE: Forschungszentrum Rossendorf e.V., [Bericht] FZR (1996), FZR-122, 39-43
CODEN: FRBFEU

DOCUMENT TYPE: Report

LANGUAGE: English

GI



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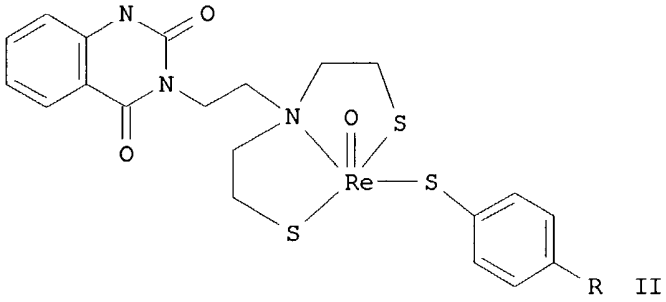


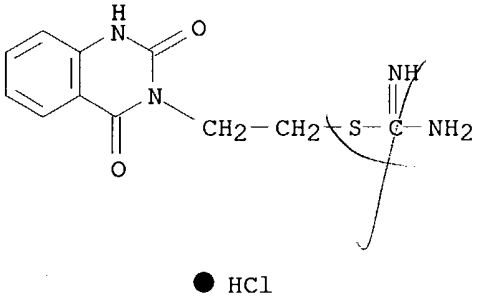
II

AB I (X = S, O, NMe) and II (R = OMe, F) were prepared They exhibited insufficient abilities to displace ketanserin in in-vitro receptor-binding studies.

IT **138852-67-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of ketanserin derivative)

RN 138852-67-2 CAPLUS

CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

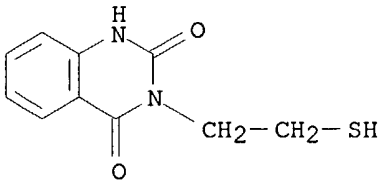


● HCl

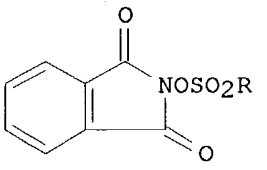
IT **138400-06-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(for preparation of ketanserin derived oxorhenium complexes without ketanserin-binding inhibitory activity)

RN 138400-06-3 CAPLUS

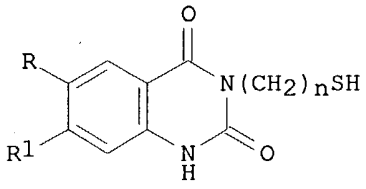
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:962282 CAPLUS
DOCUMENT NUMBER: 124:175998
TITLE: Bis[(2,4-dioxo-1,2,3,4-tetrahydroquinazolin-3-yl)alkyl] disulfanes and 3-(mercaptoalkyl)quinazoline-2,4-(1H,3H)-diones: synthesis by ring transformations and antiviral activity. 42. Communication: Polycyclic azines with heteroatoms in 1- and 3-position.
AUTHOR(S): Guetschow, M.; Tonew, E.; Leistner, S.
CORPORATE SOURCE: Inst. Pharmazie, Universitaet Leipzig, Germany
SOURCE: Pharmazie (1995), 50(10), 672-5
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 124:175998
GI



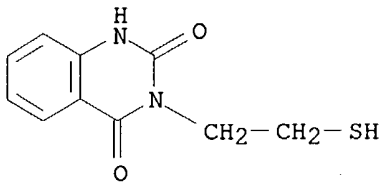
I



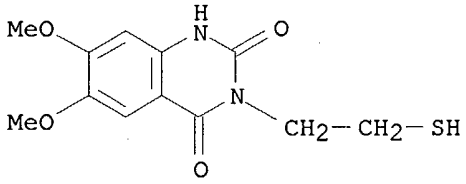
II

AB The reaction of N-(sulfonyloxy)phthalimide derivs. I (R = MeC6H4, Me) with cystamine and homocystamine, resp., afforded bis[(dioxotetrahydroquinazolinyl)alkyl]disulfides, which were reduced to (mercaptoalkyl)quinazolinediones II [R = R1 = H; n = 2 (III), 3]. The quinazolinedione III was also obtained in a one-pot reaction from I and cysteamine. Three ethoxybenzoxazinones were converted with cysteamine to the corresponding quinazolinediones II (n = 2; R = R1 = H; R = Me, R1 = H; R = R1 = MeO) by a new ring transformation reaction. III and the corresponding disulfide showed antiviral activity against some DNA- and RNA-viruses (vaccinia-, herpes simplex virus type 1; influenza A virus) at concns. that were nontoxic to the host cell cultures.

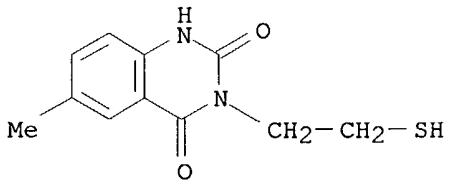
IT **138400-06-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(antiviral activity and preparation of bis[(dioxotetrahydroquinazolinyl)alkyl] disulfides and (mercaptoalkyl)quinazolinediones by ring transformation)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



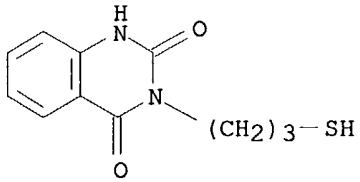
IT **138400-00-7P 138547-74-7P 138608-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(antiviral activity and preparation of bis[(dioxotetrahydroquinazolinyl)alkyl] disulfides and (mercaptoalkyl)quinazolinediones by ring transformation)
RN 138400-00-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 138547-74-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6-methyl- (9CI) (CA INDEX NAME)



RN 138608-75-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:498173 CAPLUS
DOCUMENT NUMBER: 123:55814

~~10/1784~~1

TITLE: Polycyclic azines with heteroatoms in 1- and 3-position. Synthesis of heterocyclic immunomodulators. 3. Synthesis of N-1-substituted 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-diones via bis[2-(2-amino-benzoylamino)ethyl]disulfanes and test for immunostimulating activity

AUTHOR(S): Guetschow, Michael; Drossler, Karl; Leistner, Siegfried

CORPORATE SOURCE: Inst. Pharm. Inst. Zool., Univ. Leipzig, Leipzig, D-04103, Germany

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1995), 328(3), 277-81
CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: VCH

DOCUMENT TYPE: Journal

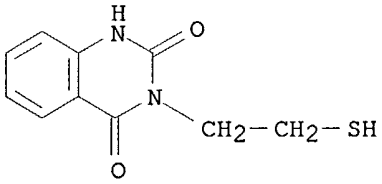
LANGUAGE: German

AB A 3-step synthesis, starting from substituted isatoic anhydride was used to prepare substituted 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-diones. The title compds. thus prepared were tested as immune stimulants.

IT **138400-06-3P**, 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl) **138655-25-1P**, 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl) **138779-51-8P**, 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-8-methyl
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of (mercaptoethyl)quinazolinediones as immunomodulators)

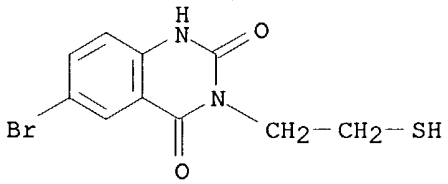
RN 138400-06-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



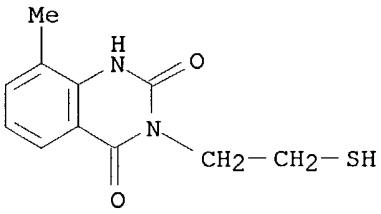
RN 138655-25-1 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138779-51-8 CAPLUS

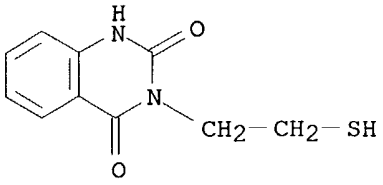
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-8-methyl- (9CI) (CA INDEX NAME)



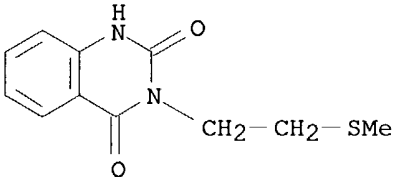
L12 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:469323 CAPLUS
DOCUMENT NUMBER: 122:255440
TITLE: The use of lymphocyte cultures for investigating the biotransformation of drugs
AUTHOR(S): Langner, A.; Melzig, M. F.; Kempa, Sabine; Krause, A.
CORPORATE SOURCE: Inst. Pharmazie, Humboldt-Universitaet Berlin, Berlin, Germany
SOURCE: Pharmazie (1995), 50(2), 130-8
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: German

AB Rat lymphocyte and mouse myeloma cell cultures were used as in vitro test systems for investigating the biotransformation of drugs. The biochem. properties of both kinds of cells were qual. comparable. No reductive or conjugating activities were present in the cultures. The established and characterized systems were used to study the biotransformation of 4 potential drugs. The Trapidil derivative AR 12463 (5-piperidino-7-[N-pentyl-N-(β-hydroxyethyl)]-amino-s-triazolo[1,5-a]pyrimidine) was transformed into 2 metabolites in both the lymphocyte and myeloma cell cultures. These substances were characterized as the hydroxypentyl- and the hydroxypyrimidine derivs. Both products are the initial metabolites for further degradation reactions in vivo in the rat. The immunostimulator AWD 100-041 (3-(2-mercaptoethyl)quinazoline-2,4-(1 H,3H)-dione) was metabolized in both lymphocyte and myeloma cell cultures to the disulfide of the parent compound After incubation of the S-Me analog of AWD 100-041, itself a metabolite of the drug, sulfoxidized metabolites occurred, which were also detectable in vivo. After incubation of the anticonvulsant AWD 140-076 (4-chlorophenylpyrrole-3-morpholino-2-carboxylic acid Me ester) in the cell cultures 2 metabolites were formed which were oxidized at the morpholine N as well as at the pyrrole skeleton. Both compds. are the main metabolites in metabolism in vivo. The biotransformation of the lipoxygenase inhibitor FLM 5011 (2-hydroxy-5-methyllaurophenone oxime) in lymphocyte and myeloma cell cultures was characterized by the formation of the ω-hydroxy derivative This compound is the initial metabolite for the further degradation of the lauryl side chain. All these substances were tested for cytotoxicity in myeloma cells. The corresponding IC50 values were 4.5 + 10-6M for AR 12463, 1.4 + 10-5M for AWD 100-041, 1.3 + 10-4M for AWD 140-076 and 1.2 + 10-4M for FLM 5011. No relationship was found between cytotoxicity and the degree of metabolism

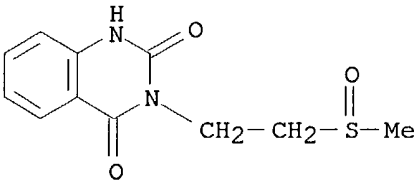
IT **138400-06-3**, AWD 100-041
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(in vitro lymphocyte and myeloma cell cultures metabolism of)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



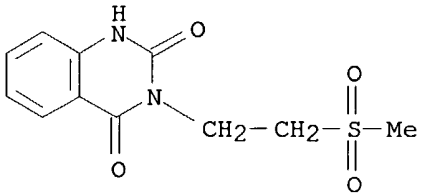
IT **138948-21-7 155063-51-7 155063-52-8**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of AWD 100-041 by in vitro lymphocyte and myeloma cell cultures resulting in formation of)
RN 138948-21-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



RN 155063-51-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylsulfinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 155063-52-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:315122 CAPLUS
DOCUMENT NUMBER: 120:315122

TITLE: Investigations on the biotransformation of the immunostimulator 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-dione (AWD 100-041)

AUTHOR(S): Langner, A.; Kempa, S.; Nerlich, C.; Franke, P.; Pfeifer, S.

CORPORATE SOURCE: Fachbereich Pharm., Humboldt-Univ. zu Berlin, Berlin, Germany

SOURCE: Pharmazie (1994), 49(2-3), 169-75
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

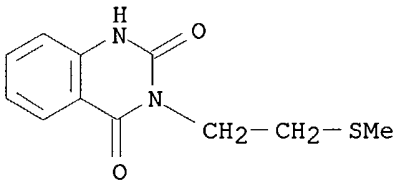
LANGUAGE: German

AB 3-(-Mercaptoethyl)quinazoline-2,4(1H,3H)-dione (1; AWD 100-041) is a substance with immunomodulating and immunorestorative activity. After p.o. administration in male Wistar rats at least 7 metabolites are formed and excreted in urine and feces. The compds. were isolated and identified on the basis of UV and mass spectra. They are S-methylated structures in which sulfoxidn. and ring-hydroxylation have taken place. Four metabolites are also present as sulfate or glucuronide conjugates. The quantity ratio of the phase I to phase II metabolites amts. to 4:1. In the isolated perfused rat liver and rat hepatocyte culture 6 and 5 of the in vivo identified compds. are formed. The sequence of the metabolic pathways could be confirmed by in vitro expts. in which the incubation of synthetically prepared metabolites and the identification of generated biotransformation products were performed. In the lymphocyte and myeloma cell culture solely the disulfide of 1 is formed. After incubation of the S-Me compound metabolites originate detectable also in vivo. Regarding the main ways of metabolism firstly 1 is attacked by methyltransferases forming the initial metabolite. After that oxidative processes take place leading to the formation of sulfoxides, sulfones as well as ring-hydroxylated compds. A part of the ring-hydroxylate metabolites are conjugated.

IT **138948-21-7 155063-51-7 155063-52-8 155315-17-6 155352-49-1 155352-50-4 155416-49-2**
RL: PROC (Process)
(identification of, as AWD 100-041 metabolite in feces in urine)

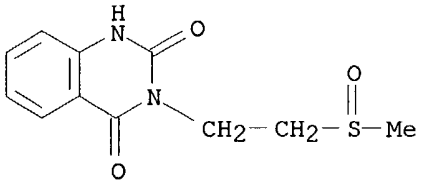
RN 138948-21-7 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

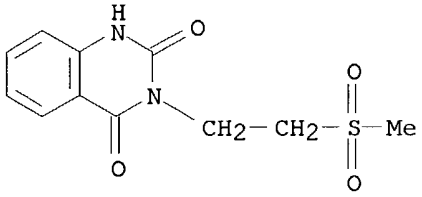


RN 155063-51-7 CAPLUS

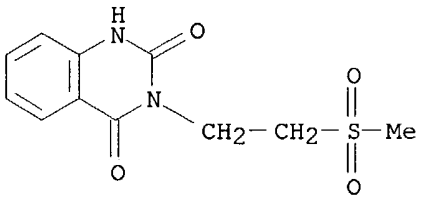
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylsulfinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 155063-52-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)

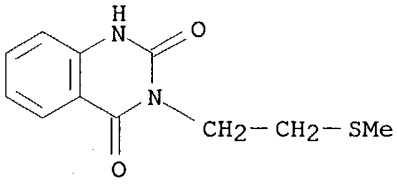


RN 155315-17-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, ar-hydroxy-3-[2-(methylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)



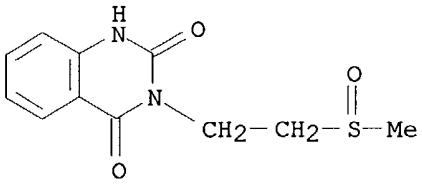
D1—OH

RN 155352-49-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, ar-hydroxy-3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



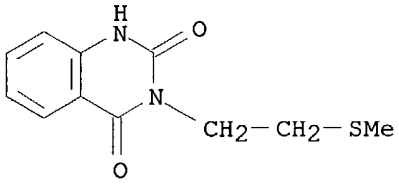
D1—OH

RN 155352-50-4 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, ar-hydroxy-3-[2-(methylsulfinyl)ethyl]- (9CI) (CA INDEX NAME)



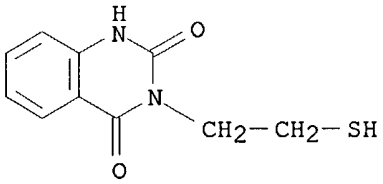
D1—OH

RN 155416-49-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, C-dihydroxy-3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



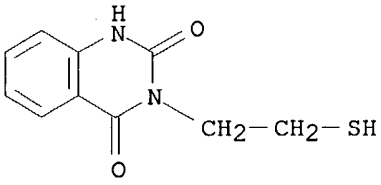
2 (D1—OH)

IT **138400-06-3D**, metabolites
RL: PROC (Process)
(identification of, in feces in urine)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



IT **138400-06-3**, AWD 100-041
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of, metabolites identification in feces and urine in relation to)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

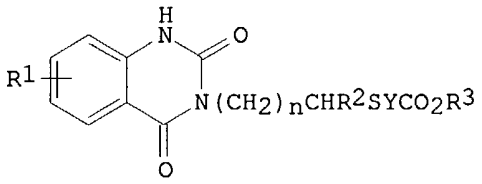
~~10/717441~~



L12 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:448588 CAPLUS
DOCUMENT NUMBER: 117:48588
TITLE: Preparation of (2,4-dioxo-1,2,3,4-tetrahydroquinazolin-3-yl)alkylthioalkanoic acids and their alkyl esters
INVENTOR(S): Siegling, Angela; Leistner, Siegfried; Strohscheidt, Thomas; Schimke, Rainer; Heidenreich, Maren; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 5 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293817	A5	19910912	DD 1990-340042	19900424

PRIORITY APPLN. INFO.: DD 1990-340042 19900424
OTHER SOURCE(S): MARPAT 117:48588
GI



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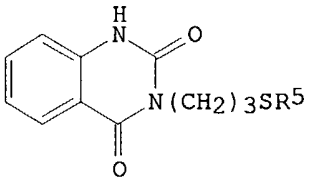


II

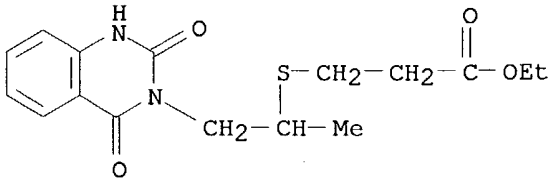
AB Title compds. I [Y = CHR4, CH2CHR4; R1 = H, 6-Me, 6-Cl, 6-Br, 6,7-(OMe)2; R2 = H, Me; R3, R4 = H, alkyl; n = 1, 2] were prepared Thus, mercaptan II (R5 = H) was treated with BrCH2CH2CO2Et to give 95% II (R5 = CH2CH2CO2Et) which was hydrolyzed to II (R5 = CH2CH2CO2H).

IT **138547-85-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ester hydrolysis of)

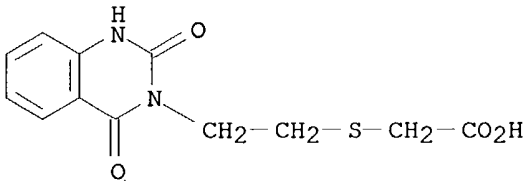
RN 138547-85-0 CAPLUS

CN Propanoic acid, 3-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-methylethyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

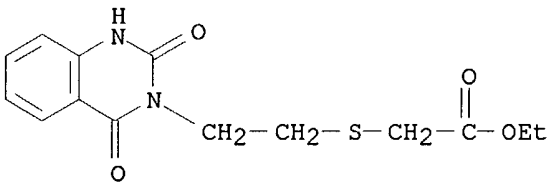
~~RD/178441~~



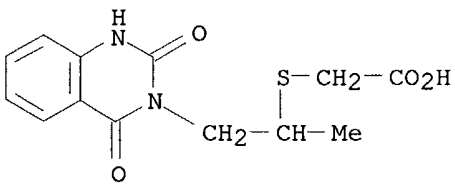
IT **138547-75-8P 138547-76-9P 138547-77-0P**
138547-78-1P 138547-79-2P 138547-80-5P
138547-81-6P 138547-82-7P 138547-83-8P
138547-84-9P 138547-86-1P 138547-87-2P
138547-88-3P 138547-89-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 138547-75-8 CAPLUS
CN Acetic acid, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]-
(9CI) (CA INDEX NAME)



RN 138547-76-9 CAPLUS
CN Acetic acid, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]-,
ethyl ester (9CI) (CA INDEX NAME)



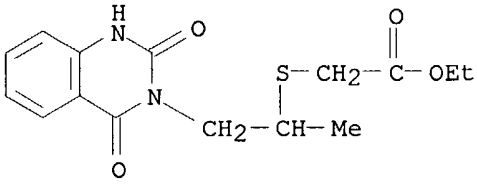
RN 138547-77-0 CAPLUS
CN Acetic acid, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-
methylethyl]thio]- (9CI) (CA INDEX NAME)



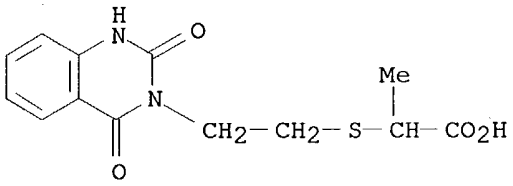
RN 138547-78-1 CAPLUS
CN Acetic acid, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-

~~10/178471~~

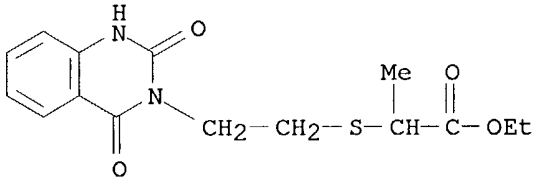
methylethyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



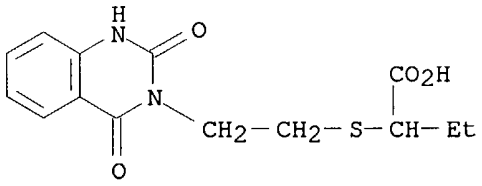
RN 138547-79-2 CAPLUS
CN Propanoic acid, 2-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]- (9CI) (CA INDEX NAME)



RN 138547-80-5 CAPLUS
CN Propanoic acid, 2-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

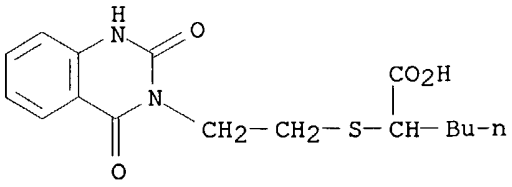


RN 138547-81-6 CAPLUS
CN Butanoic acid, 2-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]- (9CI) (CA INDEX NAME)

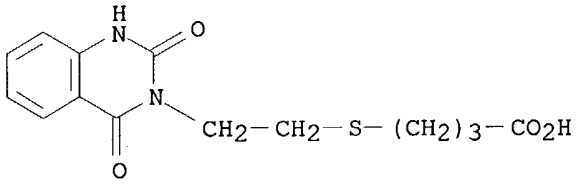


RN 138547-82-7 CAPLUS
CN Hexanoic acid, 2-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]- (9CI) (CA INDEX NAME)

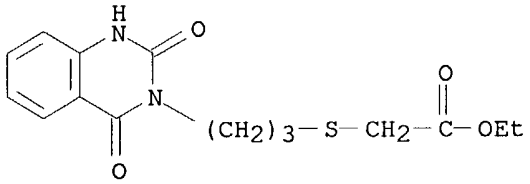
~~10/178441~~



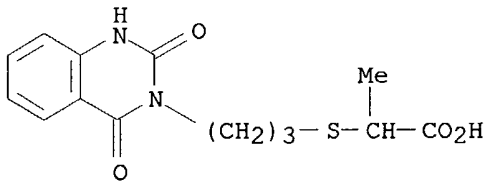
RN 138547-83-8 CAPLUS
CN Butanoic acid, 4-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]- (9CI) (CA INDEX NAME)



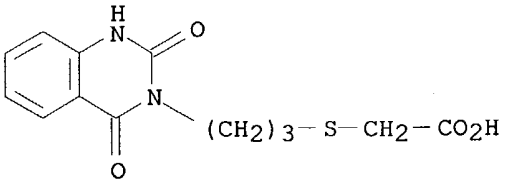
RN 138547-84-9 CAPLUS
CN Acetic acid, [[3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)propyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)



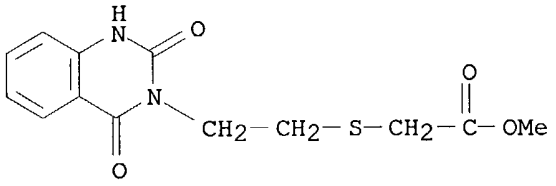
RN 138547-86-1 CAPLUS
CN Propanoic acid, 2-[[3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)propyl]thio]- (9CI) (CA INDEX NAME)



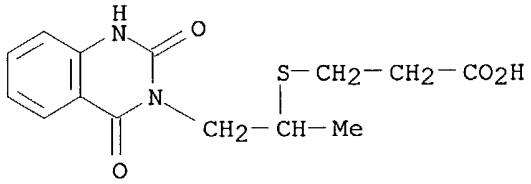
RN 138547-87-2 CAPLUS
CN Acetic acid, [[3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)propyl]thio]- (9CI) (CA INDEX NAME)



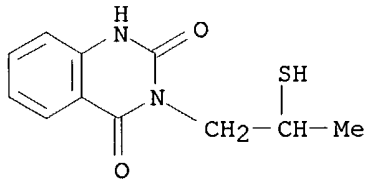
RN 138547-88-3 CAPLUS
CN Acetic acid, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]-, methyl ester (9CI) (CA INDEX NAME)



RN 138547-89-4 CAPLUS
CN Propanoic acid, 3-[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-methylethyl]thio]- (9CI) (CA INDEX NAME)



IT **138547-90-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromopropionate)
RN 138547-90-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptopropyl)- (9CI) (CA INDEX NAME)

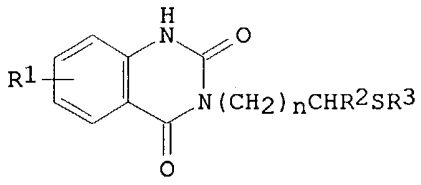


L12 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:448587 CAPLUS
DOCUMENT NUMBER: 117:48587
TITLE: Preparation of 3-(alkylthioalkyl)-2,4-dioxo-1,2,3,4-tetrahydroquinazolines
INVENTOR(S): Leistner, Siegfried; Siegling, Angela; Strohscheidt,

Thomas; Droessler, Karl; Faust, Gottfried
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 4 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293816	A5	19910912	DD 1990-340041	19900424

PRIORITY APPLN. INFO.: DD 1990-340041 19900424
OTHER SOURCE(S): MARPAT 117:48587
GI

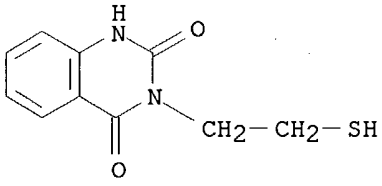


I

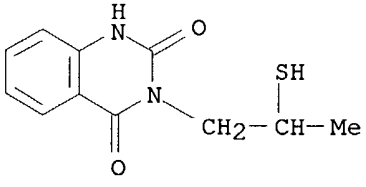
AB Title compds. I [n = 1, 2; R1 = H, 6-Me, 6-Cl, 6-Br, 6,7-(OMe)2; R2 = H, Me; R3 = alkyl, (un)substituted CH2Ph, CH2COPh, allyl, hydroxyalkyl, CH2CN] were prepared Thus, I (n = 1, R1-R3 = H) was treated with 3-ClC6H4CH2Cl to give I (n = 1, R1 = R2 = H, R3 = 3-ClC6H4CH2).

IT **138400-06-3 138547-90-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



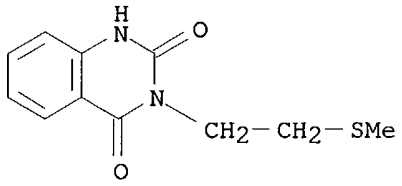
RN 138547-90-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptopropyl)- (9CI) (CA INDEX NAME)



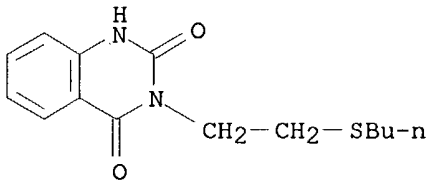
IT **138948-21-7P 138948-22-8P 138948-23-9P**

138948-24-0P 138948-25-1P 138948-26-2P
138948-27-3P 138948-28-4P 138948-29-5P
138948-30-8P 138948-31-9P 138948-32-0P
138948-33-1P 138948-34-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

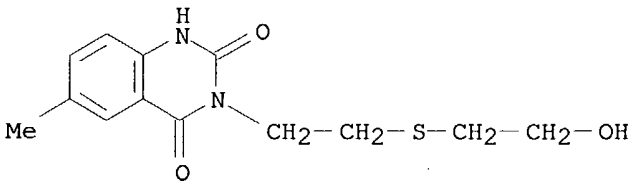
RN 138948-21-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)



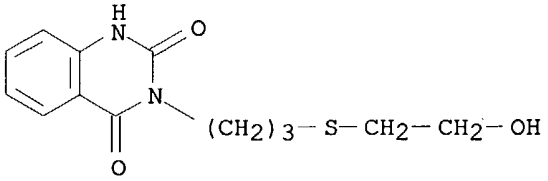
RN 138948-22-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-(butylthio)ethyl]- (9CI) (CA INDEX NAME)



RN 138948-23-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(2-hydroxyethyl)thio]ethyl]-6-methyl- (9CI) (CA INDEX NAME)

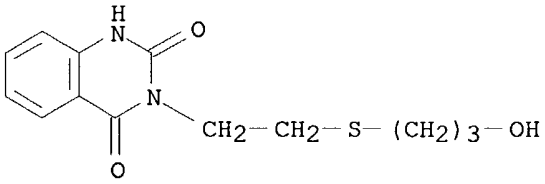


RN 138948-24-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[3-[(2-hydroxyethyl)thio]propyl]- (9CI) (CA INDEX NAME)

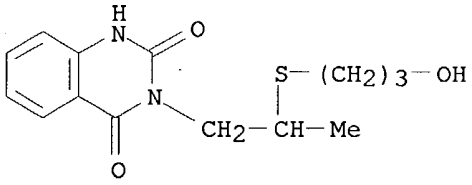


~~10/178,421~~

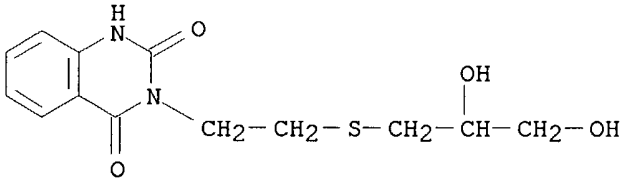
RN 138948-25-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(3-hydroxypropyl)thio]ethyl]- (9CI) (CA INDEX NAME)



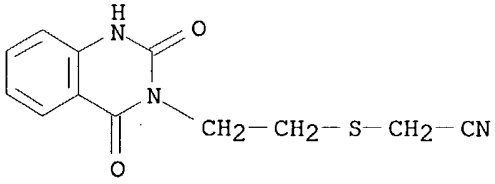
RN 138948-26-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(3-hydroxypropyl)thio]propyl]- (9CI) (CA INDEX NAME)



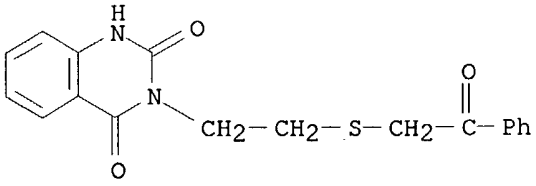
RN 138948-27-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(2,3-dihydroxypropyl)thio]ethyl]- (9CI) (CA INDEX NAME)



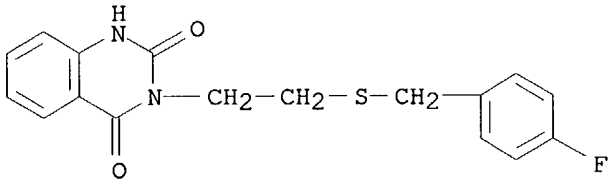
RN 138948-28-4 CAPLUS
CN Acetonitrile, [[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl]thio]- (9CI) (CA INDEX NAME)



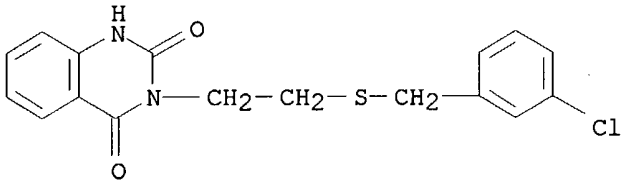
RN 138948-29-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(2-oxo-2-phenylethyl)thio]ethyl]- (9CI) (CA INDEX NAME)



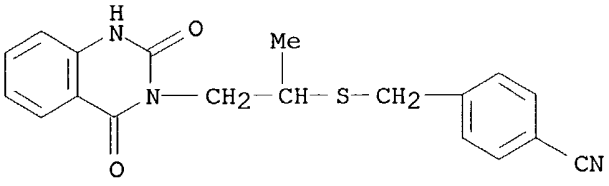
RN 138948-30-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[[(4-fluorophenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)



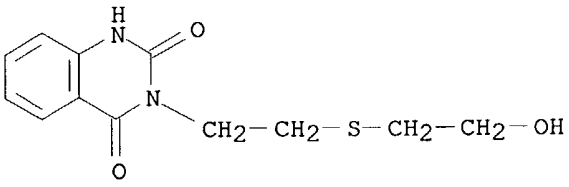
RN 138948-31-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[[(3-chlorophenyl)methyl]thio]ethyl]- (9CI) (CA INDEX NAME)



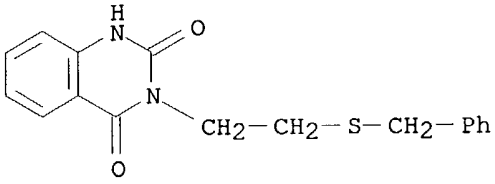
RN 138948-32-0 CAPLUS
CN Benzonitrile, 4-[[[2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-methylethyl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 138948-33-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(2-hydroxyethyl)thio]ethyl]- (9CI) (CA INDEX NAME)



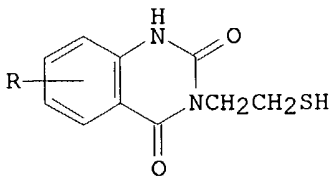
RN 138948-34-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[(phenylmethyl)thio]ethyl]- (9CI) (CA INDEX NAME)



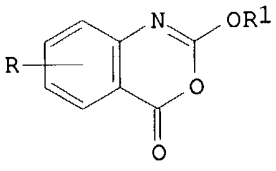
L12 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:448585 CAPLUS
DOCUMENT NUMBER: 117:48585
TITLE: Preparation of 3-(2-mercaptoethyl)quinazoline-2,4(1H,3H)-diones
INVENTOR(S): Leistner, Siegfried; Guetschow, Michael; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 5 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293813	A5	19910912	DD 1990-340036	19900424

PRIORITY APPLN. INFO.: DD 1990-340036 19900424
OTHER SOURCE(S): MARPAT 117:48585
GI



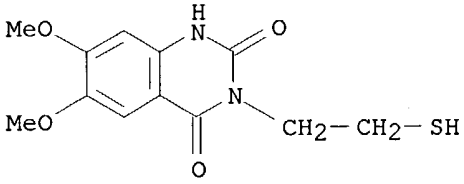
I



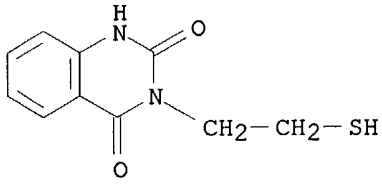
II

AB Title compds. I [R = H, 6-Me, 6-OMe, 8-Me, 8-OMe, 6,7-(OMe)2] were prepared from the benzoxazinones II (R1 = alkyl) and cysteamine. Thus, II (R = H, R1 = Et) was treated with cysteamine-HCl to give 49% I (R = H) which had immunostimulant activity in various tests.

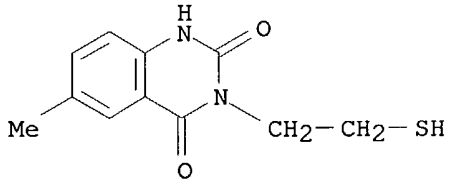
IT **138400-00-7P 138400-06-3P 138547-74-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)
RN 138400-00-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138547-74-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6-methyl- (9CI) (CA INDEX NAME)

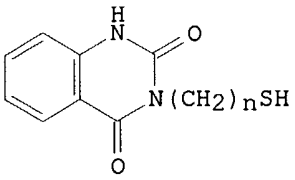


L12 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:448584 CAPLUS
DOCUMENT NUMBER: 117:48584
TITLE: Preparation of 3-(mercaptoalkyl)quinazoline-2,4(1H,3H)-diones
INVENTOR(S): Guetschow, Michael; Leistner, Siegfried; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 6 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

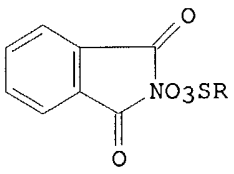
~~10/178441~~

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293814	A5	19910912	DD 1990-340038	19900424

PRIORITY APPLN. INFO.: DD 1990-340038 19900424
OTHER SOURCE(S): MARPAT 117:48584
GI



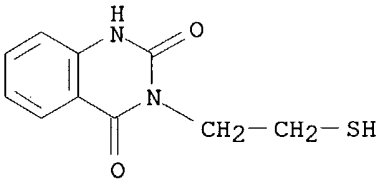
I



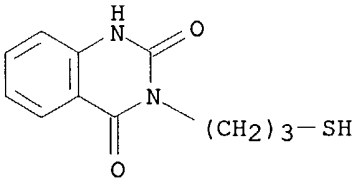
II

AB The title compds. I (n = 2, 3) were prepared from the sulfonates II (R = aryl, alkyl) and H2N(CH2)nSH or the corresponding disulfides. Thus, I (n = 1) was obtained in 50% yield by treating II (R = 4-MeC6H4) with H2NCH2CH2SH.HCl in pyridine. I (n = 1) had immunostimulant activity in cyclophosphamide-treated mice.

IT **138400-06-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



IT **138608-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 138608-75-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:448583 CAPLUS
DOCUMENT NUMBER: 117:48583
TITLE: Preparation of S-[ω-(2,4-dioxo-1,2,3,4-tetrahydroquinazolin-3-yl)alkyl]isothiouronium halides

and -isothioureas

INVENTOR(S): Leistner, Siegfried; Droessler, Karl; Strohscheidt, Thomas; Siegling, Angela; Laban, Guenter

PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany

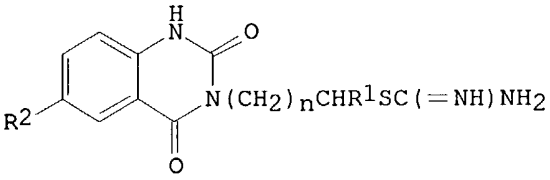
SOURCE: Ger. (East), 6 pp.
CODEN: GEXXA8

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

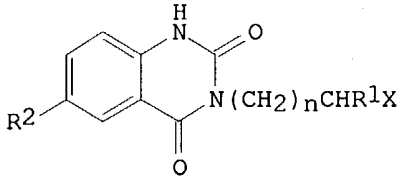
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293815	A5	19910912	DD 1990-340040	19900424

PRIORITY APPLN. INFO.: DD 1990-340040 19900424
OTHER SOURCE(S): MARPAT 117:48583

GI



I



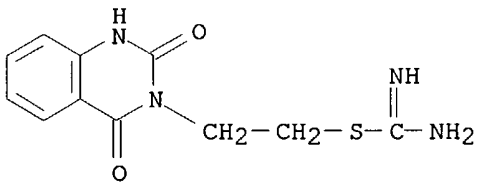
II

AB Title compds. I and I.HX (R1 = H, Me; R2 = H, Me, Cl; n = 1,2,3; X = Cl, Br) were prepared from haloalkylquinazolinediones II. Thus, II (X = Cl, n = 1, R1, R2 = H) was treated with thiourea to give 85% I.HCl (n = 1, R1, R2 = H) which had immunostimulant activity in the passive hemagglutination test.

IT **138937-54-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(immunostimulant activity of)

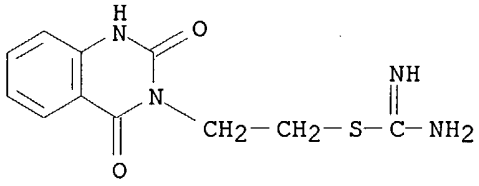
RN 138937-54-9 CAPLUS

CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl ester, monohydrobromide (9CI) (CA INDEX NAME)



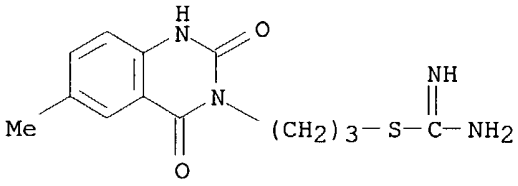
● HBr

IT **138852-67-2P 138852-70-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)
RN 138852-67-2 CAPLUS
CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



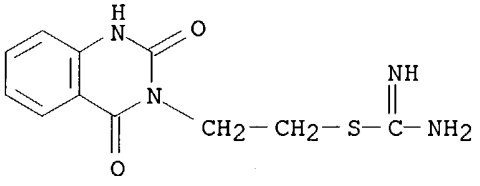
● HCl

RN 138852-70-7 CAPLUS
CN Carbamimidothioic acid, 3-(1,4-dihydro-6-methyl-2,4-dioxo-3(2H)-quinazolinyl)propyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **138608-69-2P 138852-68-3P 138852-69-4P 138937-53-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 138608-69-2 CAPLUS
CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl ester (9CI) (CA INDEX NAME)



RN 138852-68-3 CAPLUS
CN Carbamimidothioic acid, 3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)propyl ester, monohydrochloride (9CI) (CA INDEX NAME)

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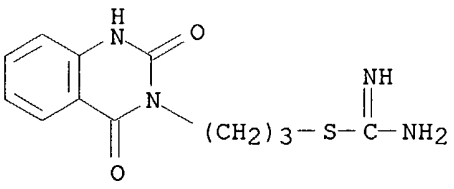
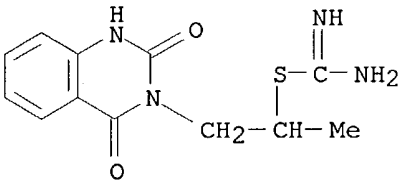


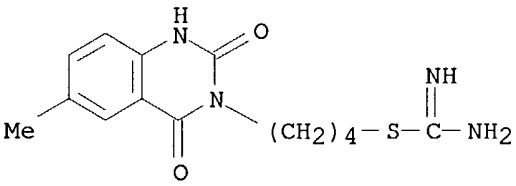
● HCl

RN 138852-69-4 CAPLUS
CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 138937-53-8 CAPLUS
CN Carbamimidothioic acid, 4-(1,4-dihydro-6-methyl-2,4-dioxo-3(2H)-quinazolinyl)butyl ester, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

L12 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:83691 CAPLUS
DOCUMENT NUMBER: 116:83691
TITLE: Preparation of 3-(2-mercaptoethyl)quinazoline-2,4-(1H,3H)-diones
INVENTOR(S): Leistner, Siegfried; Guetschow, Michael; Droessler, Karl; Wagner, Guenther; Lohmann, Dieter; Laban, Guenter

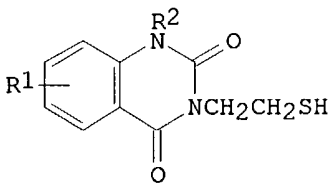
~~10/178441~~

PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 8 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

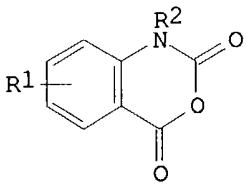
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293811	A5	19910912	DD 1990-340029	19900424
PL 165856	B1	19950228	PL 1991-289988	19910422
PL 166839	B1	19950630	PL 1991-304198	19910422
EP 454060	A1	19911030	EP 1991-106519	19910423
EP 454060	B1	19960703		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
HU 57192	A2	19911128	HU 1991-1352	19910423
HU 208428	B	19931028		
AT 140000	E	19960715	AT 1991-106519	19910423
JP 05125059	A2	19930521	JP 1991-122247	19910424
JP 2991806	B2	19991220		

PRIORITY APPLN. INFO.:
DD 1990-340025 19900424
DD 1990-340026 19900424
DD 1990-340027 19900424
DD 1990-340029 19900424
DD 1990-340032 19900424
DD 1990-340035 19900424

OTHER SOURCE(S): MARPAT 116:83691
GI



I



II

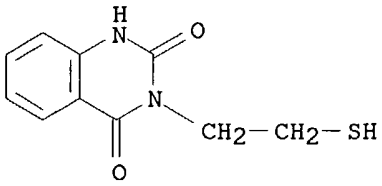
AB Title compds. I (R1 = H, Me, OMe, F, Cl, Br, iodo; R2 = H, alkyl, CH2Ph, Ph) were prepared from benzoxazinediones II and cystamine. Thus, II (R1, R2 = H) was treated with cystamine-HCl in the presence of NEt3 to give 90% (2-H2NC6H4CONHCH2CH2S)2 which was cyclized with ClCO2Et to give 77% disulfide of I (R1, R2 = H). Reduction of the disulfide gave 75% I (R1, R2 = H) which had immunostimulant activity in several tests.

IT **138400-06-3P 138655-25-1P 138779-51-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)

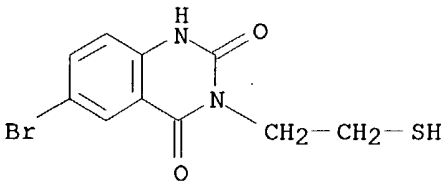
RN 138400-06-3 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

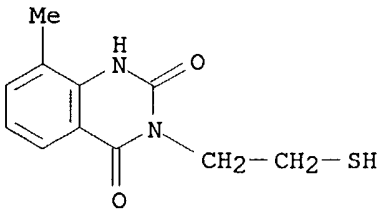
~~10/078441~~



RN 138655-25-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138779-51-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-8-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:83689 CAPLUS
DOCUMENT NUMBER: 116:83689
TITLE: 3-(Mercaptoalkyl)quinazoline-2,4(1H,3H)-diones, processes for their preparation, and pharmaceutical compositions
INVENTOR(S): Leistner, Siegfried; Guetschow, Michael; Droessler, Karl; Vieweg, Helmut; Wagner, Guenther; Strohscheidt, Thomas; Lohmann, Dieter; Laban, Gunter; Ambrosius, Herwart; Siegling, Angela
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 454060	A1	19911030	EP 1991-106519	19910423

1449

~~PI 178441~~

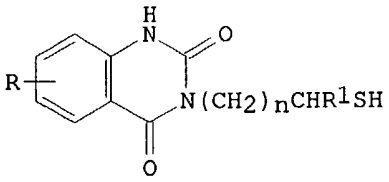
EP 454060	B1	19960703			
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE					
DD 293811	A5	19910912	DD 1990-340029	19900424	
DD 293726	A5	19910912	DD 1990-340035	19900424	
DD 298783	A5	19920312	DD 1990-340026	19900424	
DD 298784	A5	19920312	DD 1990-340027	19900424	
DD 299060	A5	19920326	DD 1990-340025	19900424	
RU 2058981	C1	19960427	RU 1991-4895299	19910423	
US 5306721	A	19940426	US 1993-101269	19930802	

PRIORITY APPLN. INFO.:

DD 1990-340025 19900424
DD 1990-340026 19900424
DD 1990-340027 19900424
DD 1990-340029 19900424
DD 1990-340032 19900424
DD 1990-340035 19900424
US 1990-340029 19900424
US 1990-340032 19900424
US 1991-689999 19910423
US 1992-93512 19920821

OTHER SOURCE(S): MARPAT 116:83689

GI



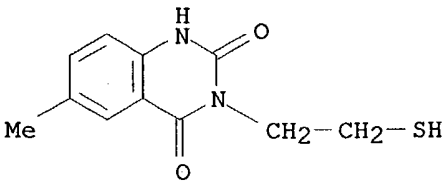
I

AB Title compds. I (n = 1, 2; R = H, 6-Me, 6-F, 6-Cl, 6-Br, 6,7-(MeO)2; R1 = H, Me) were prepared as virucides and immunostimulants. Thus, I (n = 1, R, R1 = H) was obtained from 3-(2-hydroxyethyl)quinazoline-2,4(1H,3H)-dithione in 3 steps. I (n = 1, R, R1 = H) gave 99% inhibition of Vaccinia Lister virus growth on chick embryo cells at 31.25 μmol/L. The same compound displayed immunostimulant activity in several tests.

IT **138547-74-7 138655-32-0 138852-72-9**
138852-73-0 138852-74-1 138866-25-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(immunostimulant activity of)

RN 138547-74-7 CAPLUS

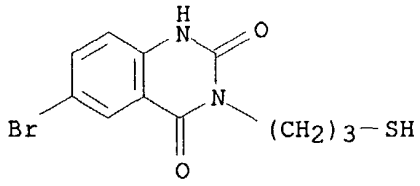
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6-methyl- (9CI) (CA INDEX NAME)



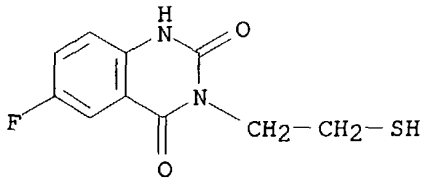
RN 138655-32-0 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(3-mercaptopropyl)- (9CI) (CA

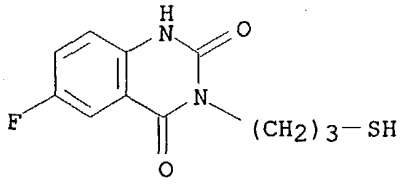
INDEX NAME)



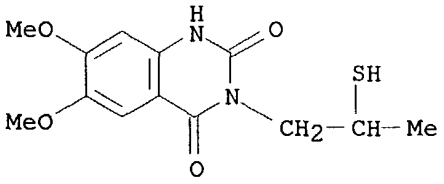
RN 138852-72-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-fluoro-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



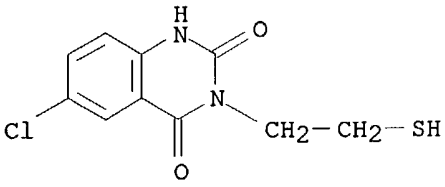
RN 138852-73-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-fluoro-3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



RN 138852-74-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptopropyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)

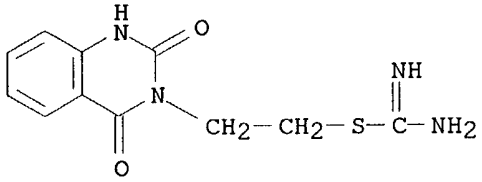


RN 138866-25-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



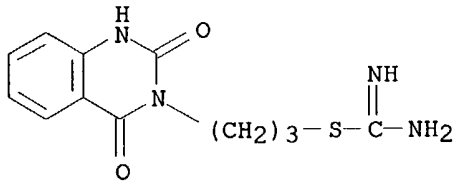
IT **138852-67-2P 138852-68-3P 138852-69-4P**
138852-70-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 138852-67-2 CAPLUS
CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



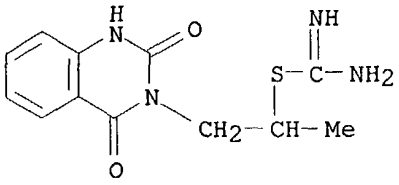
● HCl

RN 138852-68-3 CAPLUS
CN Carbamimidothioic acid, 3-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)propyl ester, monohydrochloride (9CI) (CA INDEX NAME)



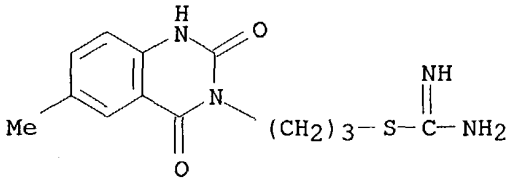
● HCl

RN 138852-69-4 CAPLUS
CN Carbamimidothioic acid, 2-(1,4-dihydro-2,4-dioxo-3(2H)-quinazolinyl)-1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



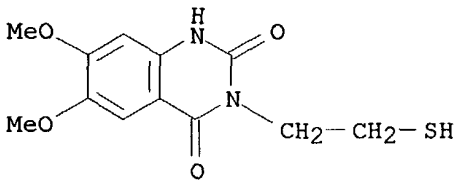
● HCl

RN 138852-70-7 CAPLUS
CN Carbamimidothioic acid, 3-(1,4-dihydro-6-methyl-2,4-dioxo-3(2H)-quinazolinyl)propyl ester, monohydrochloride (9CI) (CA INDEX NAME)

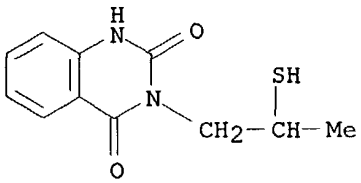


● HCl

IT **138400-00-7P 138547-90-7P 138655-25-1P**
138852-66-1P 138852-71-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and immunostimulant activity of)
RN 138400-00-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)

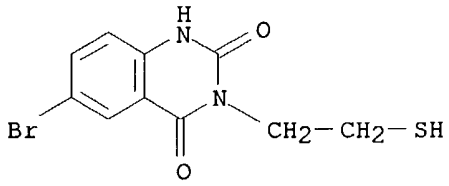


RN 138547-90-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptopropyl)- (9CI) (CA INDEX NAME)

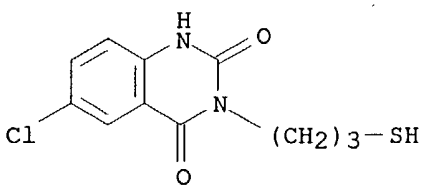


~~10/717844~~

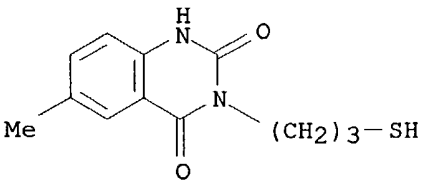
RN 138655-25-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



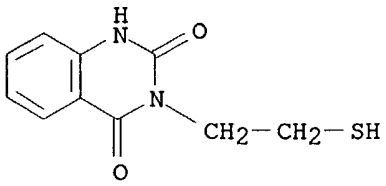
RN 138852-66-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-chloro-3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



RN 138852-71-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)-6-methyl- (9CI) (CA INDEX NAME)

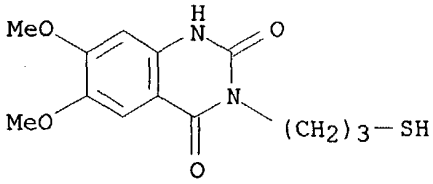


IT **138400-06-3P 138400-12-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and virucidal and immunostimulant activity of)
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

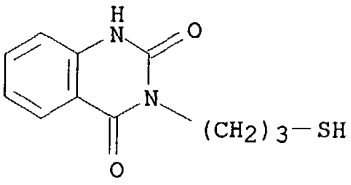


RN 138400-12-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)-6,7-dimethoxy- (9CI)

(CA INDEX NAME)



IT **138608-75-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, immunostimulant and virucidal activity of)
RN 138608-75-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)

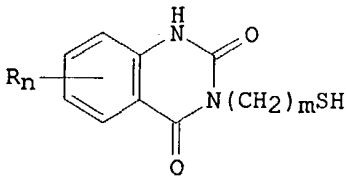


L12 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:53664 CAPLUS
DOCUMENT NUMBER: 116:53664
TITLE: Preparation of 3-(ω-mercaptoalkyl)quinazoline-2,4(1H,3H)diones as plant virucides
INVENTOR(S): Kluge, Siegfried; Leistner, Siegfried; Wagner, Guenther; Schuster, Gottfried; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 7 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293713	A5	19910912	DD 1990-340034	19900424

PRIORITY APPLN. INFO.: DD 1990-340034 19900424
OTHER SOURCE(S): MARPAT 116:53664
GI

Cumulative

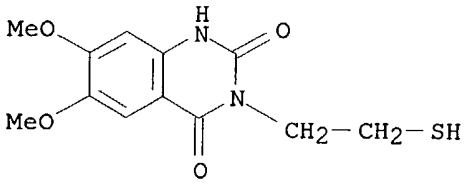


I

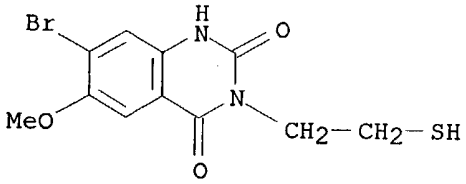
AB The title compds. I (R = H, MeO, halo; m = 2,3; n = 1,2) are prepared as plant virucides. 3-(2-Hydroxyethyl)-2-methylthioquinazoline-4(3H)thione (preparation given) was treated with HCl in MeOH, to give the corresponding quinazolinium salt, which upon treatment with NaOH gave I (Rn = H, m = 2) (II). II (0.001 mol/L) inhibited the multiplication of potato X virus in tobacco leaves.

IT **138400-00-7P 138400-01-8P 138400-02-9P**
138400-03-0P 138400-06-3P 138400-12-1P
138608-75-0P 138655-23-9P 138655-24-0P
138655-25-1P 138655-26-2P 138655-27-3P
138655-28-4P 138655-29-5P 138655-30-8P
138655-31-9P 138655-32-0P 138655-33-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as plant virucide)

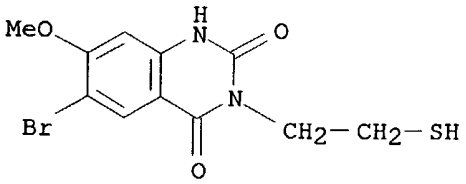
RN 138400-00-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



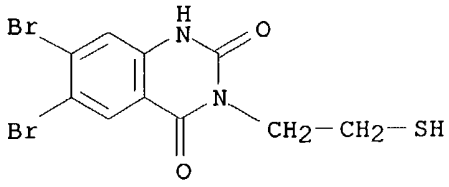
RN 138400-01-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(2-mercaptoethyl)-6-methoxy- (9CI) (CA INDEX NAME)



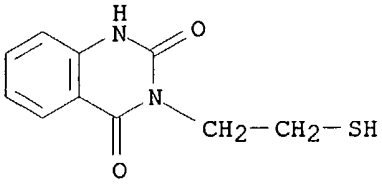
RN 138400-02-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)-7-methoxy- (9CI) (CA INDEX NAME)



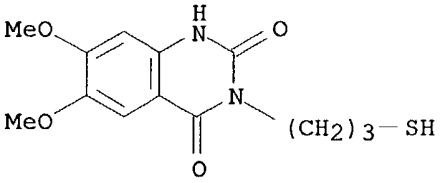
RN 138400-03-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



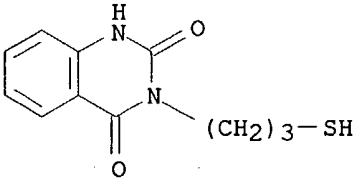
RN 138400-06-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



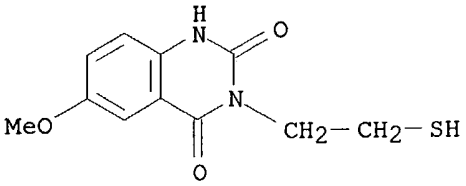
RN 138400-12-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



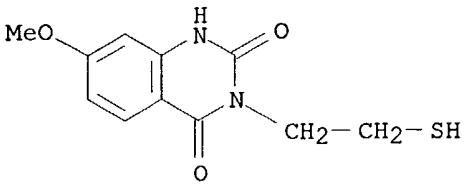
RN 138608-75-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



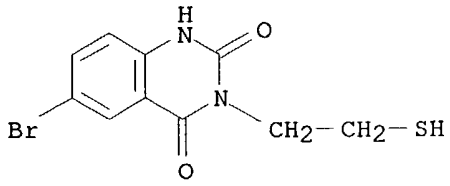
RN 138655-23-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6-methoxy- (9CI) (CA INDEX NAME)



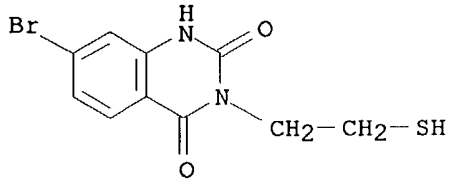
RN 138655-24-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-7-methoxy- (9CI) (CA INDEX NAME)



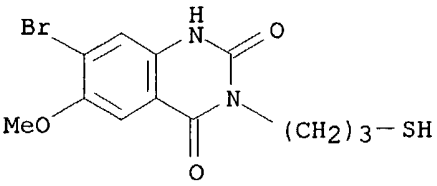
RN 138655-25-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138655-26-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



RN 138655-27-3 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(3-mercaptopropyl)-6-methoxy- (9CI) (CA INDEX NAME)



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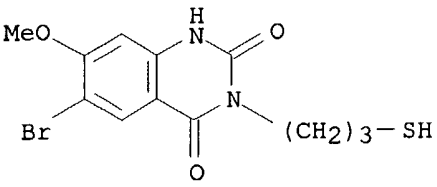
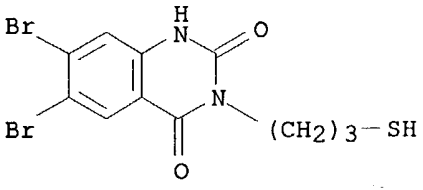
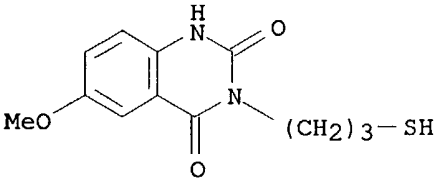
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(3-mercaptopropyl)-7-methoxy- (9CI) (CA INDEX NAME)



RN 138655-29-5 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



RN 138655-30-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 138655-31-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(3-mercaptopropyl)-7-methoxy- (9CI) (CA INDEX NAME)

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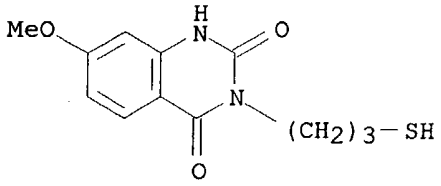

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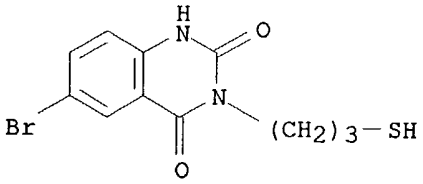
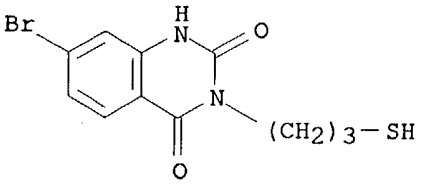


RN 138655-33-1 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(3-mercaptopropyl)- (9CI) (CA INDEX NAME)



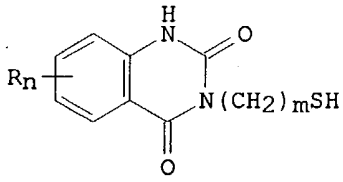
L12 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:34567 CAPLUS
DOCUMENT NUMBER: 116:34567
TITLE: Preparation of 3-(ω-mercaptoalkyl)quinazoline-2,4-(1H,3H)diones as immunostimulants
INVENTOR(S): Leistner, Siegfried; Droessler, Karl; Wagner, Guenther; Ambrosius, Herwart; Lohmann, Dieter; Laban, Guenter
PATENT ASSIGNEE(S): Arzneimittelwerk Dresden G.m.b.H., Germany
SOURCE: Ger. (East), 12 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 293726	A5	19910912	DD 1990-340035	19900424
PL 165856	B1	19950228	PL 1991-289988	19910422
PL 166839	B1	19950630	PL 1991-304198	19910422
EP 454060	A1	19911030	EP 1991-106519	19910423

EP 454060	B1	19960703			
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE					
HU 57192	A2	19911128	HU 1991-1352	19910423	
HU 208428	B	19931028			
AT 140000	E	19960715	AT 1991-106519	19910423	
JP 05125059	A2	19930521	JP 1991-122247	19910424	
JP 2991806	B2	19991220			
PRIORITY APPLN. INFO.:			DD 1990-340025	19900424	
			DD 1990-340026	19900424	
			DD 1990-340027	19900424	
			DD 1990-340029	19900424	
			DD 1990-340032	19900424	
			DD 1990-340035	19900424	

OTHER SOURCE(S): MARPAT 116:34567

GI



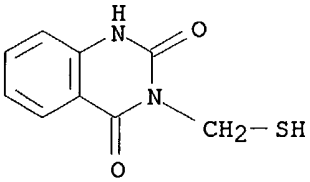
I

AB The title compds. I (R = H, alkoxy, halo; m = 2,3; n = 1,2) are prepared as immunostimulant and immunity-restoring drugs. 3-(2-Hydroxyethyl)-2-methylthioquinazoline-4(3H)thione (preparation given) was kept in methanolic HCl, to give 5-oxo-2,3-dihydro-6H-thiazolo[3,2-c]quinazolin-4-ium chlorohydrate, which upon treatment with NaOH in EtOH gave I (Rn = H, m = 2) (II). Oral administration of 2 mg II/kg/day, for 5 days, to mice immunized by i.p. administration of sheep erythrocytes, increased the number of erythrocyte-specific IgM- and IgG-plaque-forming cells. Formulation examples are given.

IT **138399-95-8P 138399-96-9P 138399-97-0P**
138399-98-1P 138399-99-2P 138400-00-7P
138400-01-8P 138400-02-9P 138400-03-0P
138400-06-3P 138400-12-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as immunostimulant)

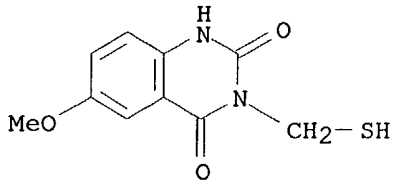
RN 138399-95-8 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(mercaptomethyl)- (9CI) (CA INDEX NAME)

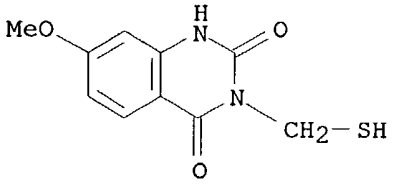


RN 138399-96-9 CAPLUS

CN 2,4(1H,3H)-Quinazolinedione, 3-(mercaptomethyl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 138399-97-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(mercaptomethyl)-7-methoxy- (9CI) (CA INDEX NAME)



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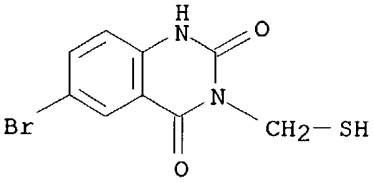
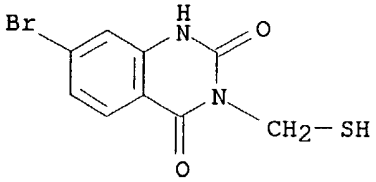
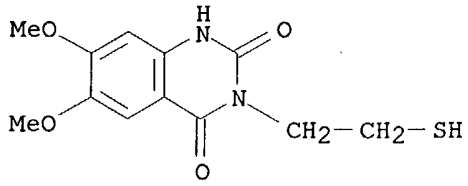


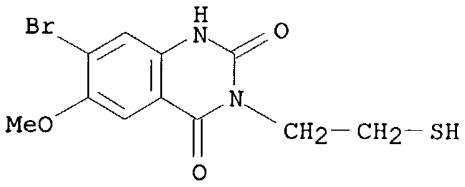
RN 138399-99-2 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(mercaptomethyl)- (9CI) (CA INDEX NAME)



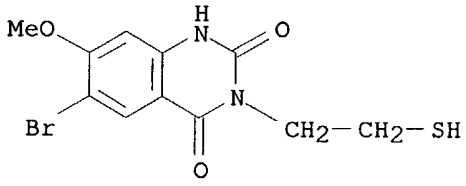
RN 138400-00-7 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-(2-mercaptoethyl)-6,7-dimethoxy- (9CI) (CA INDEX NAME)



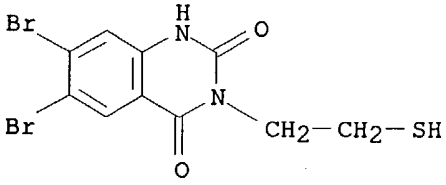
RN 138400-01-8 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 7-bromo-3-(2-mercaptoethyl)-6-methoxy- (9CI) (CA INDEX NAME)



RN 138400-02-9 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6-bromo-3-(2-mercaptoethyl)-7-methoxy- (9CI) (CA INDEX NAME)



RN 138400-03-0 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 6,7-dibromo-3-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)



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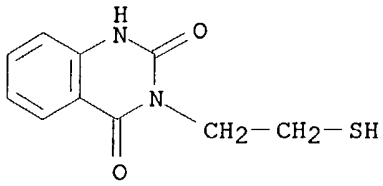

RN 138400-12-1 CAPLUS
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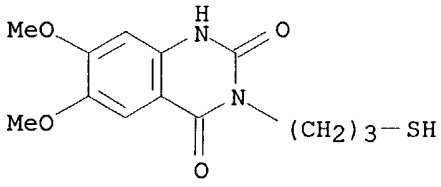

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